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LOGINID:ssptasxml624

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	OCT 02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 3	OCT 19	BEILSTEIN updated with new compounds
NEWS 4	NOV 15	Derwent Indian patent publication number format enhanced
NEWS 5	NOV 19	WPIX enhanced with XML display format
NEWS 6	NOV 30	ICSD reloaded with enhancements
NEWS 7	DEC 04	LINPADOCDB now available on STN
NEWS 8	DEC 14	BEILSTEIN pricing structure to change
NEWS 9	DEC 17	USPATOLD added to additional database clusters
NEWS 10	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS 11	DEC 17	DGENE now includes more than 10 million sequences
NEWS 12	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS 13	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 14	DEC 17	CA/CAplus enhanced with new custom IPC display formats
NEWS 15	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS 16	JAN 02	STN pricing information for 2008 now available
NEWS 17	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 18	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 19	JAN 28	MARPAT searching enhanced
NEWS 20	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS 21	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 22	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS 23	FEB 08	STN Express, Version 8.3, now available
NEWS 24	FEB 20	PCI now available as a replacement to DPCI
NEWS 25	FEB 25	IFIREF reloaded with enhancements
NEWS 26	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS 27	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 21:37:07 ON 25 MAR 2008

=> fil reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 21:37:29 ON 25 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 MAR 2008 HIGHEST RN 1009867-59-7
DICTIONARY FILE UPDATES: 24 MAR 2008 HIGHEST RN 1009867-59-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

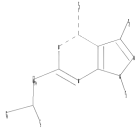
Please note that search-term pricing does apply when conducting SmartSELECT searches.

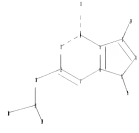
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10524956.str





chain nodes :
10 11 14 15 18 19
ring nodes :
1 2 3 4 5 6 7 8 9
ring/chain nodes :
17
chain bonds :
2-10 4-11 7-14 9-15 10-17 17-18 17-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-11 5-6 5-7 6-9 7-8 7-14 8-9 9-15 17-18 17-19
exact bonds :
2-10 10-17

G1:O,S

G2:H,CH3

G3:Cb,Ak

G4:H,Ak,O

G5:H,Ak

G6:H,Ak,OH,O

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 14:CLASS 15:CLASS 17:CLASS 18:CLASS 19:CLASS

L1 STRUCTURE UPLOADED

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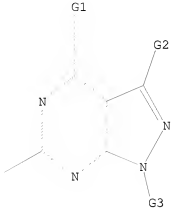
L1 HAS NO ANSWERS
L1 STR



G1 O,S

G2 H,Me

G3 Cb,Ak

Structure attributes must be viewed using STN Express query preparation.

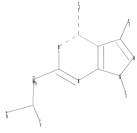
=> s l1 sam
SAMPLE SEARCH INITIATED 21:37:55 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 385 TO ITERATE

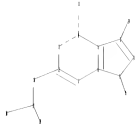
100.0% PROCESSED 385 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 6523 TO 8877
PROJECTED ANSWERS: 1367 TO 2553

L2 50 SEA SSS SAM L1

=>
Uploading C:\Program Files\Stnexp\Queries\10524956.str





chain nodes :
10 11 14 15 18 19
ring nodes :
1 2 3 4 5 6 7 8 9
ring/chain nodes :
17
chain bonds :
2-10 4-11 7-14 9-15 10-17 17-18 17-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-11 5-6 5-7 6-9 7-8 7-14 8-9 9-15 17-18 17-19
exact bonds :
2-10 10-17

G1:O,S

G2:H,CH3

G3:Cb,Ak

G4:H,Ak,O

G5:H,Ak

G6:H,Ak,OH,O

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 14:CLASS 15:CLASS 17:CLASS 18:CLASS 19:CLASS

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L3      STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3                STR
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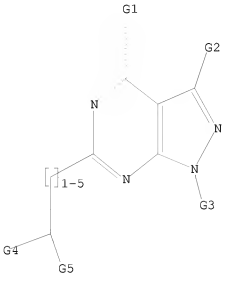

G1 O,S

G2 H,Me

G3 Cb,Ak

G4 H,Ak,O

G5 H,Ak

G6 H,Ak,CH,O

Structure attributes must be viewed using STN Express query preparation.

```
=> s l3 sam
SAMPLE SEARCH INITIATED 21:42:42 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      118 TO ITERATE

100.0% PROCESSED      118 ITERATIONS                                22 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          1709 TO     3011
PROJECTED ANSWERS:              159 TO      721

L4           22 SEA SSS SAM L3

=> s l3 full
FULL SEARCH INITIATED 21:42:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     2014 TO ITERATE
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```
100.0% PROCESSED     2014 ITERATIONS                          331 ANSWERS
SEARCH TIME: 00.00.01

L5          331 SEA SSS FUL L3

=> fil capl
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              182.04     182.25

FILE 'CAPLUS' ENTERED AT 21:43:04 ON 25 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 25 Mar 2008  VOL 148 ISS 13
FILE LAST UPDATED: 24 Mar 2008  (20080324/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l5
L6          27 L5

=> s l6 not (2008/so or 2007/so or 2006/so or 2005/so or 2004/so)
        151404 2008/SO
        894006 2007/SO
        936349 2006/SO
        882724 2005/SO
        849072 2004/SO
L7          24 L6 NOT (2008/SO OR 2007/SO OR 2006/SO OR 2005/SO OR 2004/SO)

=> d l7 ibib hitstr abs 1-24
```

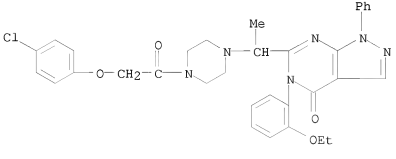
L7 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:729227 CAPLUS
DOCUMENT NUMBER: 147:143456
TITLE: Fused pyrimidones and thiopyrimidones, and their preparation, pharmaceutical compositions and use in killing or reducing cancer cell proliferation
INVENTOR(S): Venkat, Raj Gopal; Qi, Longwu; Pierce, Michael; Robbins, Paul B.; Sahasrabudhe, Sudhir R.; Selliah, Robert
PATENT ASSIGNEE(S): Prolexys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 77pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007076085	A2	20070705	WO 2006-US49168	20061222
WO 2007076085	A3	20070823		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

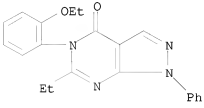
PRIORITY APPLN. INFO.:	US 2005-753916P	P 20051222
	US 2006-834989P	P 20060727

OTHER SOURCE(S): MARPAT 147:143456
IT 943431-00-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of fused pyrimidone and thiopyrimidone compds. useful in killing or reducing cancer cell proliferation)
RN 943431-00-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[1-[4-[2-(4-chlorophenoxy)acetyl]-1-piperazinyl]ethyl]-5-(2-ethoxyphenyl)-1,5-dihydro-1-phenyl- (CA INDEX NAME)

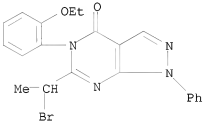


IT 943431-16-1P 943431-17-2P 943431-18-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of fused pyrimidone and thiopyrimidone compds. useful in killing or reducing cancer cell proliferation)

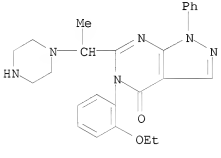
RN 943431-16-1 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 5-(2-ethoxyphenyl)-6-ethyl-1,5-dihydro-1-phenyl- (CA INDEX NAME)



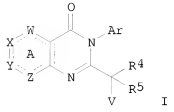
RN 943431-17-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(1-bromoethyl)-5-(2-ethoxyphenyl)-1,5-dihydro-1-phenyl- (CA INDEX NAME)



RN 943431-18-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 5-(2-ethoxyphenyl)-1,5-dihydro-1-phenyl-6-[1-(1-piperazinyl)ethyl]- (CA INDEX NAME)



GI



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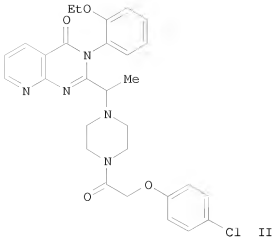


II

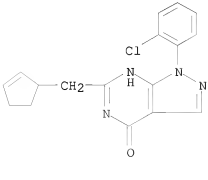
AB Compds. represented by structural formula I: are useful, for example, in the effective killing or reduction in rate of proliferation of cancer cells, such as in patients suffering from cancer. In addition to the compds. themselves, the invention provides pharmaceutical compns. of the compds. and method of treatment using the compds. Compds. of formula I wherein ring A is optionally substituted: W is absent, C, N, S and O; X, Y and Z is C, N, S and O where at least one of X, Y and Z is N if W is C; Ar is (un)substituted phenyl; R4 and R5 are independently H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted heterocyclyl, and (un)substituted aryl; V i substituted amine and cyclic amines; dotted lines are single and double bonds; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a general procedure. All the invention compds. were evaluated for their ability to kill or reduce cancer cell proliferation.

L7 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1253041 CAPLUS
DOCUMENT NUMBER: 146:757
TITLE: Use of pyrazolopyrimidine compounds for the treatment of cardiovascular diseases
INVENTOR(S): Hendrix, Martin; Wunder, Frank; Tersteegen, Adrian; Stasch, Johannes-Peter
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany
SOURCE: PCT Int. Appl., 48pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006125548	A1	20061130	WO 2006-EP4591	20060516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102005024493	A1	20061130	DE 2005-102005024493	20050527
EP 1888076	A1	20080220	EP 2006-753634	20060516
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: DE 2005-102005024493A 20050527
WO 2006-EP4591 W 20060516

OTHER SOURCE(S): MARPAT 146:757
IT 794568-65-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrazolopyrimidine compds. for treatment of cardiovascular diseases)
RN 794568-65-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(2-chlorophenyl)-6-(2-cyclopenten-1-ylmethyl)-1,5-dihydro- (CA INDEX NAME)

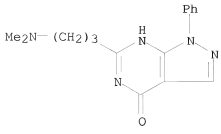


AB The invention discloses the use of pyrazolopyrimidine compds. for producing medicaments drugs for treating cardiovascular diseases.
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:471917 CAPLUS
DOCUMENT NUMBER: 144:488675
TITLE: Preparation of 1,4-substituted pyrazolopyrimidines as kinase inhibitors, particularly EphB4 inhibitors
INVENTOR(S): Schmiedeberg, Niko; Furet, Pascal; Imbach, Patricia; Holzer, Philipp
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006050946	A1	20060518	WO 2005-EP12045	20051110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005303965	A1	20060518	AU 2005-303965	20051110
CA 2585660	A1	20060518	CA 2005-2585660	20051110
EP 1812441	A1	20070801	EP 2005-819276	20051110
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101098873	A	20080102	CN 2005-80046410	20051110
IN 2007DN03269	A	20070831	IN 2007-DN3269	20070501
MX 200705644	A	20070605	MX 2007-5644	20070510
KR 2007084191	A	20070824	KR 2007-710778	20070511
PRIORITY APPLN. INFO.:			GB 2004-25035 A	20041112
			WO 2005-EP12045 W	20051110

OTHER SOURCE(S): MARPAT 144:488675
IT 887327-53-9P, 6-(3-Dimethylaminopropyl)-1-phenyl-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 1,4-substituted pyrazolopyrimidines as EphB4 inhibitors)
RN 887327-53-9 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[3-(dimethylamino)propyl]-1,5-dihydro-1-phenyl- (CA INDEX NAME)



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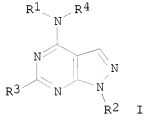
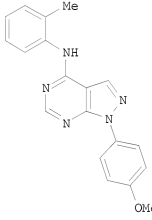


I



II

AB The invention is related to 1,4-substituted pyrazolopyrimidines I [R1 = (un)substituted Ph; R2 = (un)substituted aryl; R3 = H, (un)substituted alkyl, aryl, heterocyclyl; R4 = H, (un)substituted alkyl], and their pharmaceutically acceptable salts where one or more salt-forming groups are present, pharmaceuticals comprising them, and their use in the diagnosis and treatment or manufacture of a pharmaceutical formulation for the treatment of a disease that depends on inadequate activity of a protein kinase, especially a protein tyrosine kinase, preferably one or more of c-Abl, c-Src and/or especially Ephrin B4 receptor (EphB4) kinases; and/or one or more altered or mutated forms of any one or more of these, e.g. those forms that result in conversion of the resp. proto-oncogene into an oncogene, such as constitutively activated Bcr-Abl or v-Src. The invention is also related to the preparation of pyrazolopyrimidines I. Thus, II•TFA was

prepared starting from 4-methoxyphenylhydrazine•xHCl and (ethoxymethylene)malononitrile. Pyrazolopyrimidine II•TFA inhibited EphB4 (Ic50 = 0.16 μmol/l).

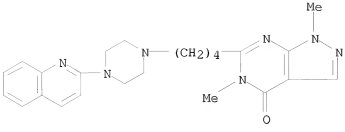
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:979639 CAPLUS
DOCUMENT NUMBER: 143:286443
TITLE: Preparation of pyrimidine derivatives as 5-HT3 receptor antagonists having agonistic activity on 5-HT1A
INVENTOR(S): Sato, Michitaka; Matsui, Teruaki; Asagarasu, Akira; Hayashi, Hiroyuki; Araki, Seiichi; Tamaoki, Satoru; Takahashi, Nobuyuki; Yamauchi, Yukinao; Yamamoto, Yoshiko; Yamamoto, Norio; Ogawa, Chisato
PATENT ASSIGNEE(S): Teikoku Hormone Mfg. Co., Ltd., Japan
SOURCE: PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082887	A1	20050909	WO 2005-JP3691	20050225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005217320	A1	20050909	AU 2005-217320	20050225
CA 2557541	A1	20050909	CA 2005-2557541	20050225
EP 1724267	A1	20061122	EP 2005-719969	20050225
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1922171	A	20070228	CN 2005-80005603	20050225
US 2007197551	A1	20070823	US 2006-590707	20060825

PRIORITY APPLN. INFO.:
JP 2004-52040 A 20040226
JP 2004-322858 A 20041105
WO 2005-JP3691 W 20050225

OTHER SOURCE(S): MARPAT 143:286443
IT 864386-94-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine derivs. as 5-HT3 receptor antagonists having agonistic activity on 5-HT1A for treatment of anxiety, depression, etc.)
RN 864386-94-7 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,5-dimethyl-6-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)



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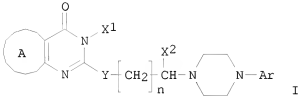


I

II

AB Title compds. I [ring A = carbocyclic group, etc.; X1 = H, amino, etc.; X2 = H, alkyl; Y = bond, etc.; n = 0-4; Ar = optionally substituted II with halo, etc.; Z = O, etc.; B = moiety required for completing mono-, ploy-heterocyclic ring containing N together with N-C-Z; dotted line indicates single, double bond] were prepared For example, treatment of potassium 3-amino-5,6-dimethyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-2-thiolate with 2-[4-(3-chloropropyl)piperazin-1-yl]quinoline, e.g., prepared from piperazine in 2 steps, afforded 3-amino-5,6-dimethyl-2-[3-(4-quinolin-2-ylpiperazin-1-yl)propylthio]-3H-thieno[2,3-d]pyrimidin-4-one (III) in 50% yield. In 5-HT3 receptor affinity assay (in vitro), compound III exhibited the antagonistic activity of 94% at 10-7 M. Compds. I are claimed useful for the treatment of anxiety, depression, etc. Formulation is given.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:238744 CAPLUS
DOCUMENT NUMBER: 142:316851
TITLE: Preparation of fused ring heterocycles as potassium channel modulators
INVENTOR(S): McNaughton-Smith, Grant Andrew; Amato, George Salvatore; Thomas, James Barnwell
PATENT ASSIGNEE(S): Icagen, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 39 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005059823	A1	20050317	US 2004-937958	20040910
US 7223768	B2	20070529		
AU 2004272104	A1	20050324	AU 2004-272104	20040910
CA 2536633	A1	20050324	CA 2004-2536633	20040910
WO 2005025293	A2	20050324	WO 2004-US29868	20040910
WO 2005025293	A3	20050616		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1663237	A2	20060607	EP 2004-788717	20040910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007505143	T	20070308	JP 2006-526375	20040910
US 2008058319	A1	20080306	US 2007-740831	20070426

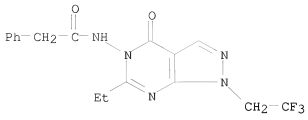
PRIORITY APPLN. INFO.: US 2003-502109P P 20030910
US 2004-937958 A1 20040910
WO 2004-US29868 W 20040910

OTHER SOURCE(S): CASREACT 142:316851; MARPAT 142:316851

IT 848027-62-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as potassium channel modulators)

RN 848027-62-3 CAPLUS

CN Benzeneacetamide, N-[6-ethyl-1,4-dihydro-4-oxo-1-(2,2,2-trifluoroethyl)-5H-pyrazolo[3,4-d]pyrimidin-5-yl]- (CA INDEX NAME)



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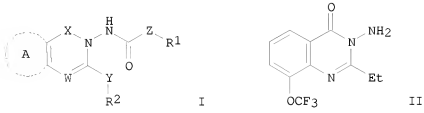


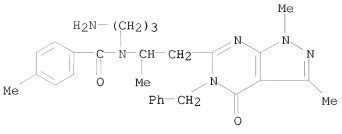
AB Compds. I [A = (un)substituted 5-6 membered (hetero)aryl, cycloalkyl, 5-8 membered heteroaryl; X = CO, CS, SO2; W = N, CR3 (wherein R3 = H, F, (un)substituted (hetero)aryl, etc.); Z = a bond, CH2, CHF, CH:CH, etc.; Y = (CR5R6)n (n = 0-4; R5, R6 = H, F, (un)substituted (hetero)aryl, etc.); R1 = (un)substituted (hetero)aryl, cycloalkyl, 5-7 membered heterocyclyl, alkyl; R2 = CF3, (un)substituted alkyl, (hetero)aryl, cycloalkyl, 3-7 membered heterocyclyl], compns. and methods are provided which are useful in the treatment of diseases through the modulation of potassium ion flux through voltage-dependent potassium channels. More particularly, the invention provides quinazolinones, compns. and methods that are useful in the treatment of central or peripheral nervous system disorders (e.g., migraine, ataxia, Parkinson's disease, bipolar disorders, trigeminal neuralgia, spasticity, mood disorders, brain tumors, psychotic disorders, myokymia, seizures, epilepsy, hearing and vision loss, Alzheimer's disease, age-related memory loss, learning deficiencies, anxiety and motor neuron diseases, maintaining bladder control or treating urinary incontinence) and as neuroprotective agents (e.g., to prevent stroke and the like) by modulating potassium channels associated with the onset or recurrence of the indicated conditions. E.g., a multi-step synthesis of II, starting from 2-trifluoromethoxyaniline, was given. The compound II and analogs were subsequently coupled with isocyanates and carboxylic acids to provide the compds. I such as 1-(2-cyclohexyl-4-oxo-4H-quinazolin-3-yl)-3-(2-fluorobenzyl)urea. The representative compds. I were tested for the ability to open voltage-gated potassium channels in the NG-108-15 FLIPR assay (data given for selected compds. I).

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1038663 CAPLUS
DOCUMENT NUMBER: 142:6555
TITLE: Preparation of bicyclic pyrimidones as Eg5 modulators for treatment of cancer
INVENTOR(S): Kim, Kyoung S.; Lu, Songfeng; Sheng, X. Christopher; Crews, Alvin Donald
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S. Pat. Appl. Publ., 39 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004242596	A1	20041202	US 2004-848089	20040518
US 7022850	B2	20060404		
WO 2004106492	A2	20041209	WO 2004-US15972	20040521
WO 2004106492	A3	20050519		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1628981	A2	20060301	EP 2004-752900	20040521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				

PRIORITY APPLN. INFO.: US 2003-472880P P 20030522
WO 2004-US15972 W 20040521
OTHER SOURCE(S): MARPAT 142:6555
IT 799295-87-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anticancer agent; preparation of bicyclic pyrimidones as Eg5 modulators for treatment of cancer)
RN 799295-87-7 CAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[2-[4,5-dihydro-1,3-dimethyl-4-oxo-5-(phenylmethyl)-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-1-methylethyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

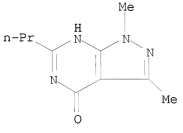


● HCl

IT 799295-88-8P, 1,3-Dimethyl-6-propyl-4,5-dihydro-1H-pyrazolo[3,4-d]pyrimidin-4-one 799295-89-9P, 1,3-Dimethyl-5-benzyl-6-propyl-4,5-dihydro-1H-pyrazolo[3,4-d]pyrimidin-4-one 799295-90-2P, 1,3-Dimethyl-5-benzyl-6-(α-bromopropyl)-4,5-dihydro-1H-pyrazolo[3,4-d]pyrimidin-4-one 799295-91-3P, 1,3-Dimethyl-5-benzyl-6-[2-[[3-[(tert-butoxycarbonyl)amino]propyl]amino]propyl]-4,5-dihydro-1H-pyrazolo[3,4-d]pyrimidin-4-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of bicyclic pyrimidones as Eg5 modulators for treatment of cancer)

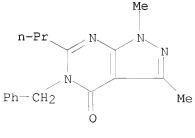
RN 799295-88-8 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-propyl- (CA INDEX NAME)

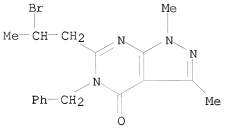


RN 799295-89-9 CAPLUS

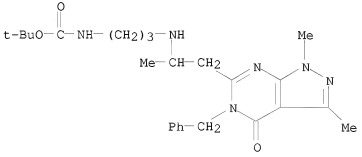
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-5-(phenylmethyl)-6-propyl- (CA INDEX NAME)



RN 799295-90-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(2-bromopropyl)-1,5-dihydro-1,3-dimethyl-5-(phenylmethyl)- (CA INDEX NAME)



RN 799295-91-3 CAPLUS
CN Carbamic acid, [3-[[2-[4,5-dihydro-1,3-dimethyl-4-oxo-5-(phenylmethyl)-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-1-methylethyl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title isoxazolopyrimidinones, pyrazolopyrimidinones, and isothiazolopyrimidinones I or II [wherein X = O, S, N; provided that if X = O or S, then Y2 is absent; Y1 = H, (un)substituted (cyclo)alkyl, aryl; Y2 = absent, H, alkyl; Z = O, X; R1 = H, (un)substituted alkyl, aryl, (hetero)arylalkyl; R2, R3 = independently H, (cyclo)alkyl, halo; or CR2R3 = cycloalkyl; n = 1, 2; A = N; R4 = COR9, CO2R10, CONR11R12, SO2R13, (un)substituted (cyclo)alkyl, (hetero)aryl; R5, R9-R13 = independently H, (un)substituted (cyclo)alkyl, (hetero)aryl] were prepared as Eg5 modulators (no data). I and II induce mitotic arrest, thereby making them useful as anticancer agents. For example, cycloaddn. of 2-(1-ethoxyethylidene)malononitrile and hydroxylamine•HCl gave 5-amino-3-methylisoxazole-4-carbonitrile (72%), which was coupled with butyric anhydride to provide 3-methyl-6-propyl-5H-isoxazolo[5,4-d]pyrimidin-4-one (52%). The isoxazol[5,4-d]pyrimidin-4-one was then benzylated (20%), brominated (30%), aminated with 1,3-diaminopropane (66%), acylated with 4-toluoyl chloride (95%), and deprotected (65%) to afford III. Compds. of the invention exhibited activity in a 72-h cell

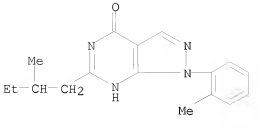
proliferation assay, inhibiting cell proliferation against one or more of ovarian, breast, prostate, lung, leukemia, or colorectal human cancer cell lines with IC50 values ≤10 μM. I and II also exhibited activity in a clonogenecity assay and a cell cycle profile anal. assay, producing significant increases in mitotic and apoptotic fractions of the cell population. Addnl., invention compds. inhibited bipolar spindle formation and induced a monoastral array of microtubules in immunocytochem. assays. Thus, I, II, and their pharmaceutical compns., optionally in combination with at least one other anticancer agent, are useful for the treatment of cancer and other proliferative disorders.

L7 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:996183 CAPLUS
DOCUMENT NUMBER: 141:424206
TITLE: Preparation of pyrazolopyrimidinones as phosphodiesterase 9A inhibitors useful as nootropics.
INVENTOR(S): Hendrix, Martin; Baerfacker, Lars; Erb, Christina; Hafner, Frank-Thorsten; Heckroth, Heike; Schauss, Dagmar; Terssteegen, Adrian; Van Der Staay, Franz-Josef; Van Kampen, Marja
PATENT ASSIGNEE(S): Bayer Healthcare AG, Germany
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

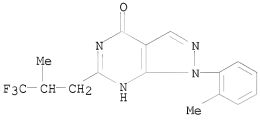
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099211	A1	20041118	WO 2004-EP4455	20040428
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 102004004142	A1	20041125	DE 2004-102004004142	20040128
AU 2004235915	A1	20041118	AU 2004-235915	20040428
CA 2524900	A1	20041118	CA 2004-2524900	20040428
EP 1626971	A1	20060222	EP 2004-729876	20040428
R: DE, ES, FR, GB, IT				
JP 2006525966	T	20061116	JP 2006-505294	20040428
US 2007105876	A1	20070510	US 2005-556224	20051109
IN 2005DN05418	A	20070928	IN 2005-DN5418	20051124

PRIORITY APPLN. INFO.:
DE 2003-10320784 A 20030509
DE 2003-10336183 A 20030807
DE 2004-102004004142A 20040128
WO 2004-EP4455 W 20040428

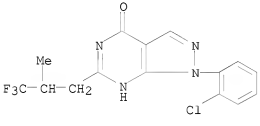
OTHER SOURCE(S): MARPAT 141:424206
IT 794568-84-6P 794568-87-9P 794568-90-4P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of pyrazolopyrimidinones as phosphodiesterase 9A inhibitors useful as nootropics)
RN 794568-84-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-(2-methylbutyl)-1-(2-methylphenyl)- (CA INDEX NAME)



RN 794568-87-9 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-(2-methylphenyl)-6-(3,3,3-trifluoro-2-methylpropyl)- (CA INDEX NAME)

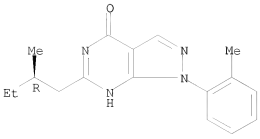


RN 794568-90-4 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(2-chlorophenyl)-1,5-dihydro-6-(3,3,3-trifluoro-2-methylpropyl)- (CA INDEX NAME)



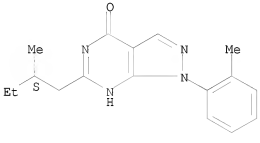
IT 794568-85-7P 794568-86-8P 794568-88-0P
794568-89-1P 794568-91-5P 794568-92-6P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidinones as phosphodiesterase 9A inhibitors useful as nootropics)
RN 794568-85-7 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-[(2R)-2-methylbutyl]-1-(2-methylphenyl)- (CA INDEX NAME)

Absolute stereochemistry.



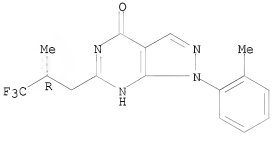
RN 794568-86-8 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-[(2S)-2-methylbutyl]-1-(2-methylphenyl)- (CA INDEX NAME)

Absolute stereochemistry.



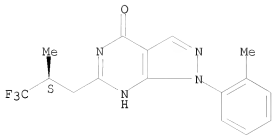
RN 794568-88-0 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-(2-methylphenyl)-6-[(2R)-3,3,3-trifluoro-2-methylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



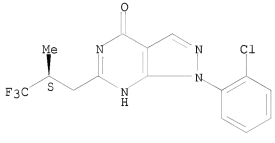
RN 794568-89-1 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-(2-methylphenyl)-6-[(2S)-3,3,3-trifluoro-2-methylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



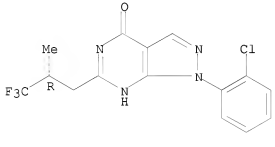
RN 794568-91-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(2-chlorophenyl)-1,5-dihydro-6-[(2S)-3,3,3-trifluoro-2-methylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 794568-92-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(2-chlorophenyl)-1,5-dihydro-6-[(2R)-3,3,3-trifluoro-2-methylpropyl]- (CA INDEX NAME)

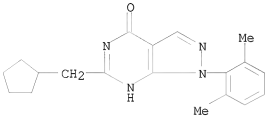
Absolute stereochemistry.



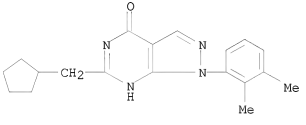
IT 794568-50-6P 794568-51-7P 794568-52-8P
794568-53-9P 794568-54-0P 794568-55-1P
794568-56-2P 794568-57-3P 794568-58-4P
794568-59-5P 794568-60-8P 794568-61-9P
794568-62-0P 794568-63-1P 794568-64-2P
794568-65-3P 794568-67-5P 794568-68-6P
794568-69-7P 794568-70-0P 794568-71-1P
794568-72-2P 794568-73-3P 794568-74-4P
794568-75-5P 794568-76-6P 794568-79-9P
794568-80-2P 794568-81-3P 794568-82-4P

794568-83-5P 794568-97-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidinones as phosphodiesterase 9A inhibitors useful as nootropics)

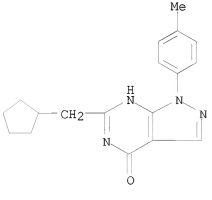
RN 794568-50-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1-(2,6-dimethylphenyl)-1,5-dihydro- (CA INDEX NAME)



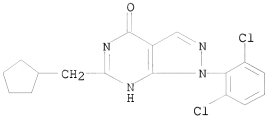
RN 794568-51-7 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1-(2,3-dimethylphenyl)-1,5-dihydro- (CA INDEX NAME)



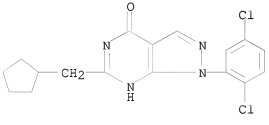
RN 794568-52-8 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1,5-dihydro-1-(4-methylphenyl)- (CA INDEX NAME)



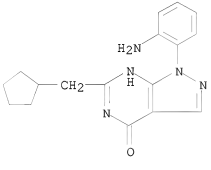
RN 794568-53-9 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1-(2,6-dichlorophenyl)-1,5-dihydro- (CA INDEX NAME)



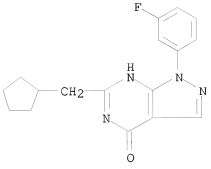
RN 794568-54-0 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1-(2,5-dichlorophenyl)-1,5-dihydro- (CA INDEX NAME)



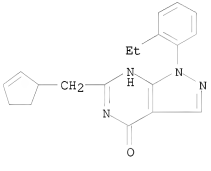
RN 794568-55-1 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(2-aminophenyl)-6-(cyclopentylmethyl)-1,5-dihydro- (CA INDEX NAME)



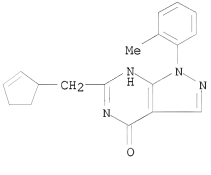
RN 794568-56-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1-(3-fluorophenyl)-1,5-dihydro- (CA INDEX NAME)



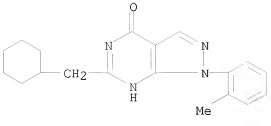
RN 794568-57-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(2-cyclopenten-1-ylmethyl)-1-(2-ethylphenyl)-1,5-dihydro- (CA INDEX NAME)



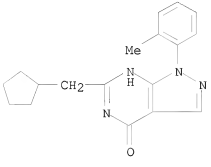
RN 794568-58-4 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(2-cyclopenten-1-ylmethyl)-1,5-dihydro-1-(2-methylphenyl)- (CA INDEX NAME)



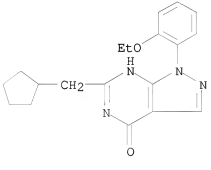
RN 794568-59-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclohexylmethyl)-1,5-dihydro-1-(2-methylphenyl)- (CA INDEX NAME)



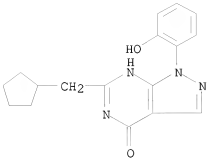
RN 794568-60-8 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1,5-dihydro-1-(2-methylphenyl)- (CA INDEX NAME)



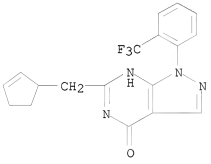
RN 794568-61-9 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1-(2-ethoxyphenyl)-1,5-dihydro- (CA INDEX NAME)



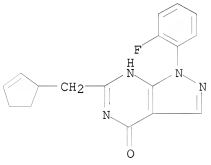
RN 794568-62-0 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1,5-dihydro-1-(2-hydroxyphenyl)- (CA INDEX NAME)



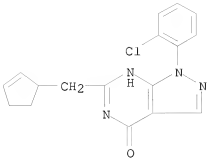
RN 794568-63-1 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(2-cyclopenten-1-ylmethyl)-1,5-dihydro-1-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



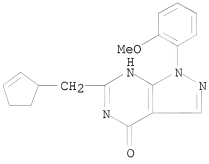
RN 794568-64-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(2-cyclopenten-1-ylmethyl)-1-(2-fluorophenyl)-1,5-dihydro- (CA INDEX NAME)



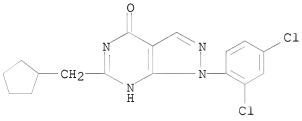
RN 794568-65-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(2-chlorophenyl)-6-(2-cyclopenten-1-ylmethyl)-1,5-dihydro- (CA INDEX NAME)



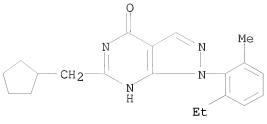
RN 794568-67-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(2-cyclopenten-1-ylmethyl)-1,5-dihydro-1-(2-methoxyphenyl)- (CA INDEX NAME)



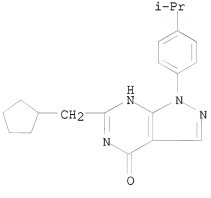
RN 794568-68-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1-(2,4-dichlorophenyl)-1,5-dihydro- (CA INDEX NAME)



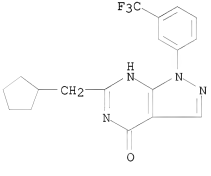
RN 794568-69-7 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1-(2-ethyl-6-methylphenyl)-1,5-dihydro- (CA INDEX NAME)



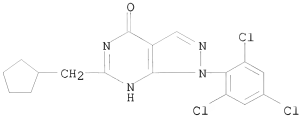
RN 794568-70-0 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1,5-dihydro-1-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



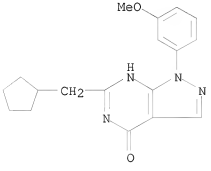
RN 794568-71-1 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1,5-dihydro-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



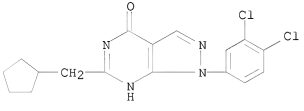
RN 794568-72-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1,5-dihydro-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



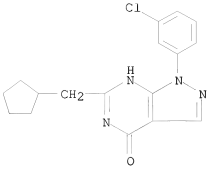
RN 794568-73-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1,5-dihydro-1-(3-methoxyphenyl)- (CA INDEX NAME)



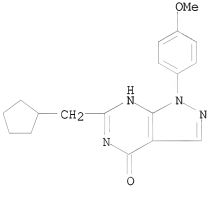
RN 794568-74-4 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1-(3,4-dichlorophenyl)-1,5-dihydro- (CA INDEX NAME)



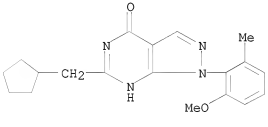
RN 794568-75-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(3-chlorophenyl)-6-(cyclopentylmethyl)-1,5-dihydro- (CA INDEX NAME)



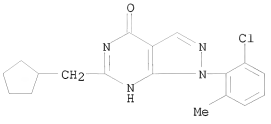
RN 794568-76-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1,5-dihydro-1-(4-methoxyphenyl)- (CA INDEX NAME)



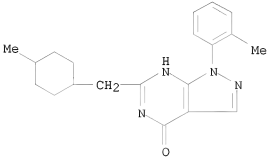
RN 794568-79-9 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1,5-dihydro-1-(2-methoxy-6-methylphenyl)- (CA INDEX NAME)



RN 794568-80-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(2-chloro-6-methylphenyl)-6-(cyclopentylmethyl)-1,5-dihydro- (CA INDEX NAME)

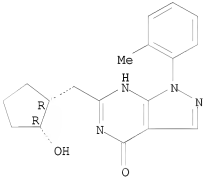


RN 794568-81-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-[(4-methylcyclohexyl)methyl]-1-(2-methylphenyl)- (CA INDEX NAME)



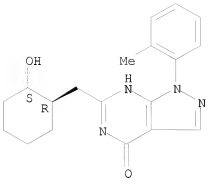
RN 794568-82-4 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-[[(1R,2R)-2-hydroxycyclopentyl]methyl]-1-(2-methylphenyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

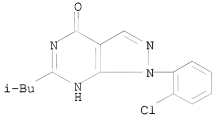


RN 794568-83-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-[[(1R,2S)-2-hydroxycyclohexyl]methyl]-1-(2-methylphenyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 794568-97-1 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(2-chlorophenyl)-1,5-dihydro-6-(2-methylpropyl)- (CA INDEX NAME)



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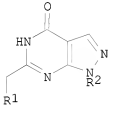


I

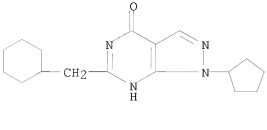
AB Title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R2 = (substituted) Ph, heteroaryl], were prepared Thus, reflux of 5-amino-1-(2-methylphenyl)-1H-pyrazole-4-carboxamide (preparation given) with Et cyclopentylacetate and NaH in EtOH overnight gave 30% 6-cyclopentylmethyl-1-(2-methylphenyl)-1,5-dihydro-4H-pyrazolo[3,4-d]pyrimidin-4-one. The latter inhibited PDE9A with IC50 = 5 nM.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

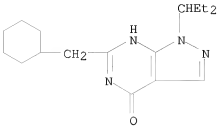
L7 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:198173 CAPLUS
DOCUMENT NUMBER: 140:247085
TITLE: Selective phosphodiesterase 9A inhibitors for the improvement of cognitive processes
INVENTOR(S): Boss, Frank-Gerhard; Erb, Christina; Hendrix, Martin; Van Kampen, Marja; Wunder, Frank
PATENT ASSIGNEE(S): Bayer AG, Germany
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10238722	A1	20040311	DE 2002-10238722	20020823
CA 2496292	A1	20040401	CA 2003-2496292	20030811
WO 2004026286	A2	20040401	WO 2003-EP8880	20030811
WO 2004026286	A3	20040603		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003258597	A1	20040408	AU 2003-258597	20030811
EP 1534285	A2	20050601	EP 2003-797233	20030811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501272	T	20060112	JP 2004-536933	20030811
US 2006100222	A1	20060511	US 2005-525119	20051014
PRIORITY APPLN. INFO.:			DE 2002-10238722 A	20020823
			WO 2003-EP8880 W	20030811

IT 667400-78-4P 667400-79-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(phosphodiesterase 9A inhibitors for improvement of cognitive processes)
RN 667400-78-4 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclohexylmethyl)-1-cyclopentyl-1,5-dihydro- (CA INDEX NAME)



RN 667400-79-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclohexylmethyl)-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)



AB The invention discloses the use of selective phosphodiesterase 9A inhibitors for the production of drugs for the improvement of perception, concentration, cognitive processes, learning and/or memory. Preparation and activity of pyrazolopyrimidinone derivs. is included.

L7 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:177919 CAPLUS
DOCUMENT NUMBER: 140:235735
TITLE: Preparation of pyrazolopyrimidines as phosphodiesterase PDE9A inhibitors.
INVENTOR(S): Hendrix, Martin; Boess, Frank-Gerhard; Burkhardt, Nils; Erb, Christina; Tersteegen, Adrian; Van Kampen, Marja
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 28 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10238724	A1	20040304	DE 2002-10238724	20020823
CA 2496308	A1	20040401	CA 2003-2496308	20030813
WO 2004026876	A1	20040401	WO 2003-EP8979	20030813
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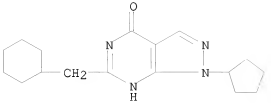
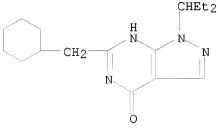
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003251706	A1	20040408	AU 2003-251706	20030813
EP 1534713	A1	20050601	EP 2003-797239	20030813
EP 1534713	B1	20060111		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006503051	T	20060126	JP 2004-536941	20030813
ES 2256797	T3	20060716	ES 2003-797239	20030813
US 2006111372	A1	20060525	US 2005-524956	20051215
PRIORITY APPLN. INFO.:			DE 2002-10238724 A	20020823
			WO 2003-EP8979 W	20030813

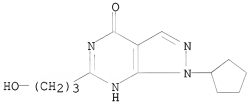
OTHER SOURCE(S): MARPAT 140:235735
IT 667400-78-4P 667400-79-5P 667870-10-2P
667870-11-3P 667870-12-4P 667870-13-5P
667870-14-6P 667870-15-7P 667870-16-8P
667870-17-9P 667870-18-0P 667870-19-1P
667870-20-4P 667870-21-5P 667870-22-6P
667870-23-7P 667870-24-8P 667870-25-9P
667870-26-0P 667870-27-1P 667870-28-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as phosphodiesterase PDE9A inhibitors.)
RN 667400-78-4 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclohexylmethyl)-1-cyclopentyl-1,5-dihydro- (CA INDEX NAME)



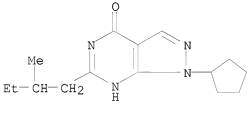
RN 667400-79-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclohexylmethyl)-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)



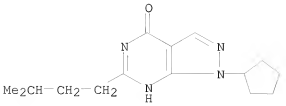
RN 667870-10-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-6-(3-hydroxypropyl)- (CA INDEX NAME)



RN 667870-11-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-6-(2-methylbutyl)- (CA INDEX NAME)



RN 667870-12-4 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-6-(3-methylbutyl)- (CA INDEX NAME)



RN 667870-13-5 CAPLUS
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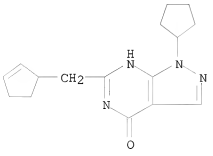

RN 667870-14-6 CAPLUS
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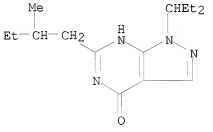

RN 667870-15-7 CAPLUS
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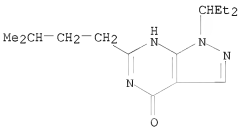

RN 667870-16-8 CAPLUS
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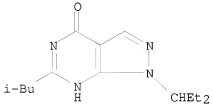

RN 667870-17-9 CAPLUS
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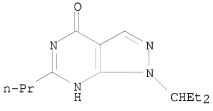
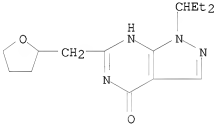
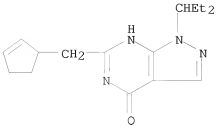


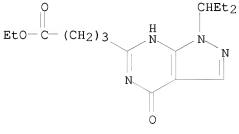
RN 667870-18-0 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-[(tetrahydro-2-furanyl)methyl]- (CA INDEX NAME)



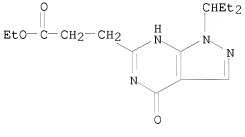
RN 667870-19-1 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(2-cyclopenten-1-ylmethyl)-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)



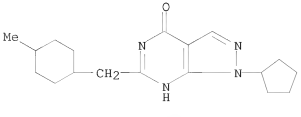
RN 667870-20-4 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine-6-butanoic acid, 1-(1-ethylpropyl)-4,5-dihydro-4-oxo-, ethyl ester (CA INDEX NAME)



RN 667870-21-5 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine-6-propanoic acid, 1-(1-ethylpropyl)-4,5-dihydro-4-oxo-, ethyl ester (CA INDEX NAME)

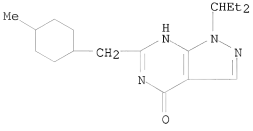


RN 667870-22-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-6-[(4-methylcyclohexyl)methyl]- (CA INDEX NAME)

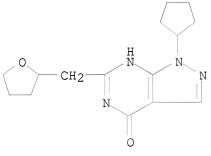


RN 667870-23-7 CAPLUS

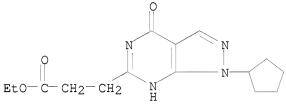
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-[(4-methylcyclohexyl)methyl]- (CA INDEX NAME)



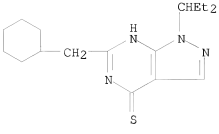
RN 667870-24-8 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-6-[(tetrahydro-2-furanyl)methyl]- (CA INDEX NAME)



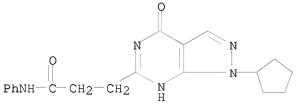
RN 667870-25-9 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine-6-propanoic acid, 1-cyclopentyl-4,5-dihydro-4-oxo-, ethyl ester (CA INDEX NAME)



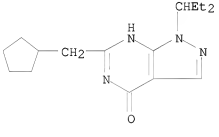
RN 667870-26-0 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidine-4-thione, 6-(cyclohexylmethyl)-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)



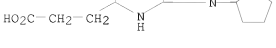
RN 667870-27-1 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine-6-propanamide, 1-cyclopentyl-4,5-dihydro-4-oxo-N-phenyl- (CA INDEX NAME)



RN 667870-28-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)



IT 667870-31-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidines as phosphodiesterase PDE9A inhibitors.)
RN 667870-31-7 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine-6-propanoic acid, 1-cyclopentyl-4,5-dihydro-4-oxo- (CA INDEX NAME)



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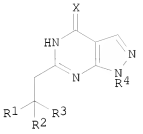


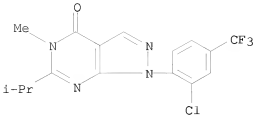
I

AB Title compds. [I; R1 = OH, (substituted) alkyl, alkoxy, CO2R5, CONR6R7; R5 = alkyl; R6, R7 = H, aryl, alkyl; NR6R7 = 4-10 membered heterocycle; R2 = H, alkyl, alkoxy; R3 = H, alkyl; R4 = pentan-3-yl, C4-6 cycloalkyl; X = O, S], were prepared Thus, 5-amino-1-cyclopentyl-1H-pyrazole-4-carboxamide (preparation given), Me cyclohexylacetate, and NaH were refluxed 18 h in EtOH to give 31% 6-cyclohexylmethyl-1-cyclopentyl-1,5-dihydro-4H-pyrazolo[3,4-d]pyrimidin-4-one. The latter inhibited PDE9A with IC50 = 5 nM.

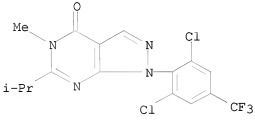
L7 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:891929 CAPLUS
DOCUMENT NUMBER: 139:381500
TITLE: Preparation of pyrazolo[3,4-d]pyrimidin-4-ones as herbicides and/or nematocides
INVENTOR(S): Linker, Karl-Heinz; Andree, Roland; Hoischen, Dorothee; Schwarz, Hans-Georg; Drewes, Mark Wilhelm; Dahmen, Peter; Feucht, Dieter; Pontzen, Rolf; Loesel, Peter
PATENT ASSIGNEE(S): Bayer CropScience AG, Germany
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10219435	A1	20031113	DE 2002-10219435	20020502
IN 2003MU00379	A	20050211	IN 2003-MU379	20030417
CA 2484997	A1	20031113	CA 2003-2484997	20030422
WO 2003093269	A2	20031113	WO 2003-EP4137	20030422
WO 2003093269	A3	20040408		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003224111	A1	20031117	AU 2003-224111	20030422
EP 1504005	A2	20050209	EP 2003-720510	20030422
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009873	A	20050426	BR 2003-9873	20030422
JP 2005531549	T	20051020	JP 2004-501408	20030422
US 2005209251	A1	20050922	US 2005-512834	20050519
PRIORITY APPLN. INFO.:			DE 2002-10219435 A	20020502
			WO 2003-EP4137 W	20030422

OTHER SOURCE(S): MARPAT 139:381500
IT 623584-98-5P 623584-99-6P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidinones as herbicides and/or nematocides)
RN 623584-98-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-[2-chloro-4-(trifluoromethyl)phenyl]-1,5-dihydro-5-methyl-6-(1-methylethyl)- (CA INDEX NAME)



RN 623584-99-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1,5-dihydro-5-methyl-6-(1-methylethyl)- (CA INDEX NAME)



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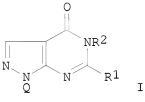
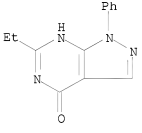
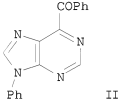


AB Title compds. [I; Q = NO2, cyano, halo, (halogenated) alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, (hetero)aryl; R1 = H, (substituted) alkyl, alkoxycarbonyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocyclyl; R2 = H, (substituted) alkyl, alkenyl, alkynyl], were prepared Thus, a mixture of 5-amino-1-(3-chloro-5-trifluoromethylpyridin-2-yl)pyrazole-4-carboxamide, CH(OMe)3, p-toluenesulfonic acid, and toluene was refluxed for 12 h followed by further addition of CH(OMe)3 and reflux for 12 h under stirring to give 44% 1-(3-chloro-5-trifluoromethylpyridin-2-yl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one. I were said to show very strong pre- and postemergent herbicidal activity, good crop tolerance, and good nematocidal activity.

L7 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:226504 CAPLUS
DOCUMENT NUMBER: 128:282737
TITLE: Catalytic action of azolium salts. IX. Synthesis of 6-aroyl-9H-purines and their analogs by nucleophilic aroylation catalyzed by imidazolium or benzimidazolium salt
AUTHOR(S): Miyashita, Akira; Suzuki, Yumiko; Iwamoto, Ken-Ichi; Higashino, Takeo
CORPORATE SOURCE: School of Pharmaceutical Sciences, University of Shizuoka, Shizuoka, 422, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1998), 46(3), 390-399
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:282737
IT 5394-42-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of 6-aroyl-9H-purines and analogs via nucleophilic aroylation catalyzed by imidazolium or benzimidazolium salt)
RN 5394-42-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-ethyl-1,5-dihydro-1-phenyl- (CA INDEX NAME)



GI



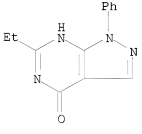
II

AB In the presence of 1,3-dimethylimidazolium iodide (I), 6-chloro-9-phenyl-9H-purine and 4-chloro-5,6-dimethylpyrrolo[2,3-d]pyrimidines underwent nucleophilic aroylation with arenecarbaldehydes to give the corresponding fused aroylpyrimidines, e.g. II. 1,3-Dimethylbenzimidazolium iodide (III) was an effective catalyst for the similar synthesis of 7-aroyl-3-phenyl-3H-1,2,3-triazolo[4,5-d]pyrimidines. In the synthesis of 4-aroyl-1H-pyrazolo[3,4-d]pyrimidines, both azolium salts I and III were effective as catalysts. Moreover,

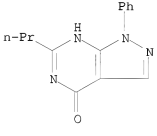
4-aroyl-7H-pyrrolo[2,3-d]pyrimidines were obtained in good yields via the 4-tosyl derivs., in the presence of catalytic amts. of sodium p-toluenesulfinate and the imidazolium salt I. This catalytic aroylation was found to be a facile and useful method for the synthesis of 6-aroyl-9H-purines and their analogs.

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

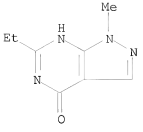
L7 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:174107 CAPLUS
DOCUMENT NUMBER: 116:174107
TITLE: Versatile synthesis of 6-alkyl(aryl)-1H-pyrazolo[3,4-d]pyrimidin-4[5H]-ones
AUTHOR(S): Reddy, K. Hemender; Reddy, A. Panduranga; Veeranagaiah, V.
CORPORATE SOURCE: Nizam Coll., Osmania Univ., Hyderabad, 500 001, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1992), 31B(3), 163-6
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 116:174107
IT 5394-42-3P 130925-64-3P 130925-68-7P 139954-52-2P 139954-53-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 5394-42-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-ethyl-1,5-dihydro-1-phenyl- (CA INDEX NAME)



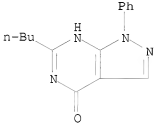
RN 130925-64-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-phenyl-6-propyl- (CA INDEX NAME)



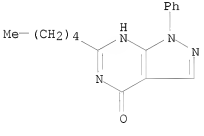
RN 130925-68-7 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-ethyl-1,5-dihydro-1-methyl- (CA INDEX NAME)



RN 139954-52-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-butyl-1,5-dihydro-1-phenyl- (CA INDEX NAME)



RN 139954-53-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-pentyl-1-phenyl- (CA INDEX NAME)



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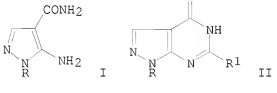
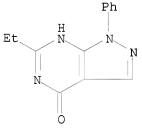


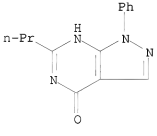
AB Condensation of 5-amino-1H-pyrazole-4-carboxamide (I, R = H) with various aromatic aldehydes furnishes 6-substituted 1H-pyrazole[3,4-d]pyrimidin-4(5H)-ones II (R1 = Ph, substituted Ph) via the intermediate

5-(N-arylideneamino)pyrazole-4-carboxamides. II were also synthesized by the reaction of I (R = H) with aromatic carboxylic acids in polyphosphoric acid (PPA) or polyphosphate ester (PPE). Similar treatment of I (R = Ph, Me) with aromatic aldehydes and aromatic carboxylic acids gives exclusively 6-substituted 1-methyl/phenyl-1H-pyrazolo[3,4-d]pyrimidin-4(5H)-ones. The title compds. have were also synthesized by the reaction of I with arylideneanilines.

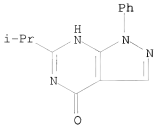
L7 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1991:6429 CAPLUS
DOCUMENT NUMBER: 114:6429
TITLE: Studies on pyrazolo[3,4-d]pyrimidine derivatives. XVIII. Facile preparation of 1H-pyrazolo[3,4-d]pyrimidin-4(5H)-ones
AUTHOR(S): Miyashita, Akira; Iijima, Chihoko; Higashino, Takeo; Matsuda, Hideaki
CORPORATE SOURCE: Sch. Pharm. Sci., Univ. Shizuoka, Shizuoka, 422, Japan
SOURCE: Heterocycles (1990), 31(7), 1309-14
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:6429
IT 5394-42-3P 130925-64-3P 130925-65-4P
130925-68-7P 130925-69-8P 130925-70-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 5394-42-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-ethyl-1,5-dihydro-1-phenyl- (CA INDEX NAME)



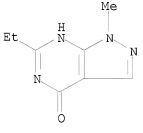
RN 130925-64-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-phenyl-6-propyl- (CA INDEX NAME)



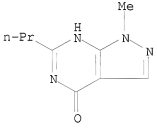
RN 130925-65-4 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-(1-methylethyl)-1-phenyl- (CA INDEX NAME)



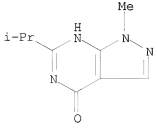
RN 130925-68-7 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-ethyl-1,5-dihydro-1-methyl- (CA INDEX NAME)



RN 130925-69-8 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-methyl-6-propyl- (CA INDEX NAME)



RN 130925-70-1 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-methyl-6-(1-methylethyl)- (CA INDEX NAME)



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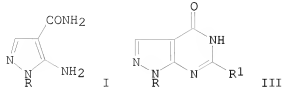
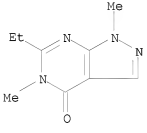
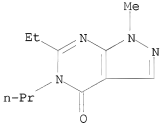


AB Reaction of 5-amino-1-phenyl-1H-pyrazole-4-carboxamide (I, R = Ph) with R1CO2R2 (II, R1 = H, Me, Et, Pr, Me2CH, PHCH2, CO2Et, Ph; R2 = Me, Et) in the presence of EtONa-EtOH gave 1-phenylpyrazolopyrimidinones III (R = Ph). Similar treatment of I (R = Me) with II gave III (R = Me).

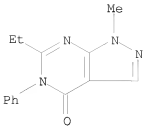
L7 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1984:34489 CAPLUS
DOCUMENT NUMBER: 100:34489
ORIGINAL REFERENCE NO.: 100:5351a,5354a
TITLE: Phosphorus pentoxide in organic synthesis. V. Phosphorus pentoxide and amine hydrochlorides as reagents in the synthesis of 1,5-dihydro-1-methyl-4H-pyrazolo[3,4-d]pyrimidin-4-ones
AUTHOR(S): Finlander, Peter; Nielsen, Soeren V.; Pedersen, Erik B.
CORPORATE SOURCE: Dep. Chem., Odense Univ., Odense, DK-5230, Den.
SOURCE: Chemica Scripta (1983), 22(4), 171-6
CODEN: CSRPB9; ISSN: 0004-2056
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:34489
IT 88320-62-1P 88320-63-2P 88320-64-3P
88320-65-4P 88320-66-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antitumor activity of)
RN 88320-62-1 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-ethyl-1,5-dihydro-1,5-dimethyl- (CA INDEX NAME)



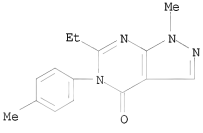
RN 88320-63-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-ethyl-1,5-dihydro-1-methyl-5-propyl- (CA INDEX NAME)



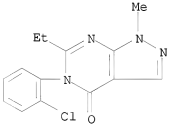
RN 88320-64-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-ethyl-1,5-dihydro-1-methyl-5-phenyl- (CA INDEX NAME)



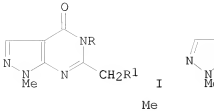
RN 88320-65-4 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-ethyl-1,5-dihydro-1-methyl-5-(4-methylphenyl)- (CA INDEX NAME)



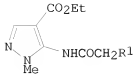
RN 88320-66-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 5-(2-chlorophenyl)-6-ethyl-1,5-dihydro-1-methyl- (CA INDEX NAME)



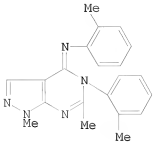
GI



I



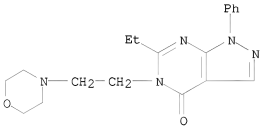
II



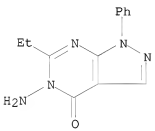
III

AB Pyrazolo[3,4-d]pyrimidinones I (R = H, alkyl, allyl, CH2Ph, Ph, substituted Ph; R1 = H, Me) were prepared by heating pyrazolecarboxylates II with P2O5, N,N-dimethylcyclohexylamine and RNH2.HCl. When 2-MeC6H4NH2.HCl was used it was also possible to isolate III. The results from pesticide and anticancer screenings are given.

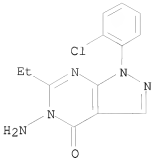
L7 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1977:567969 CAPLUS
DOCUMENT NUMBER: 87:167969
ORIGINAL REFERENCE NO.: 87:26547a,26550a
TITLE: Synthesis of condensed heterocyclic systems of pyrazole
AUTHOR(S): Alonso, G.; Madronero, R.; Nebreda, L.
CORPORATE SOURCE: Inst. Quim. Med., Madrid, Spain
SOURCE: Anales de Quimica (1968-1979) (1976), 72(11-12), 897-901
CODEN: ANQUBU; ISSN: 0365-4990
DOCUMENT TYPE: Journal
LANGUAGE: Spanish
IT 64257-08-5P 64257-09-6P 64257-10-9P
64257-17-6P 64257-19-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 64257-08-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-ethyl-1,5-dihydro-5-[2-(4-morpholinyl)ethyl]-1-phenyl- (CA INDEX NAME)



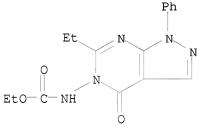
RN 64257-09-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 5-amino-6-ethyl-1,5-dihydro-1-phenyl- (CA INDEX NAME)



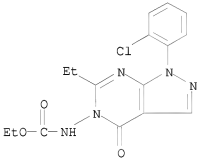
RN 64257-10-9 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 5-amino-1-(2-chlorophenyl)-6-ethyl-1,5-dihydro- (CA INDEX NAME)



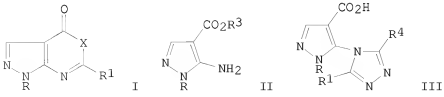
RN 64257-17-6 CAPLUS
CN Carbamic acid, (6-ethyl-1,4-dihydro-4-oxo-1-phenyl-5H-pyrazolo[3,4-d]pyrimidin-5-yl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 64257-19-8 CAPLUS
CN Carbamic acid, [1-(2-chlorophenyl)-6-ethyl-1,4-dihydro-4-oxo-5H-pyrazolo[3,4-d]pyrimidin-5-yl]-, ethyl ester (9CI) (CA INDEX NAME)



GI



AB Pyrazolopyrimidines I (R = Ph, 2-ClC6H4; R1 = Me, Et; X = NR2, R2 = morpholinoethyl, morpholinopropyl, NH2, NHPh) were prepared by condensing EtOCH:C(CN)CO2Et with RNHNH2, hydrolyzing II (R3 = Et), cyclizing II (R3 = H) with (R1CO)2O, and treating I (X = O), with R2NH2. Reaction of I (X = O) with H2NNHCO2Et gave I (X = NNHCO2Et), whereas R4CONHNH2 (R4 = CHMe2, CH2CN, 2-furyl, 3-pyridiyl, 1-naphthyl, 2-naphthyl, 3-indolyl, 2-indolyl, Me, Ph, PhCH2) gave III and 1-naphthylacetylhydrazine gave a mixture of I (X = NNHCOCH2C10H7) and III (R4 = 1-naphthylmethyl).

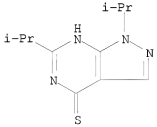
L7 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1966:84620 CAPLUS
DOCUMENT NUMBER: 64:84620
ORIGINAL REFERENCE NO.: 64:15897g-h,15898a
TITLE: 4-Mercaptopyrazolo[3,4-d]pyrimidines
INVENTOR(S): Schmidt, Paul; Eichenberger, Kurt; Wilhelm, Max
PATENT ASSIGNEE(S): CIBA Ltd.
SOURCE: 6 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 396924		19660131	CH 1960-1273264	19600511

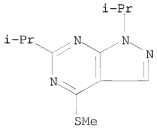
PRIORITY APPLN. INFO.: CH 19600511

IT 5494-41-7P, 1H-Pyrazolo[3,4-d]pyrimidine-4-thiol, 1,6-diisopropyl- 5494-45-1P, 1H-Pyrazolo[3,4-d]pyrimidine, 1,6-diisopropyl-4-(methylthio)- 5494-82-6P, 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 1,6-diisopropyl- 5546-24-7P, 1H-Pyrazolo[3,4-d]pyrimidine, 1,6-diisopropyl-4-[(2-piperidinoethyl)thio]- 6109-79-1P, 1H-Pyrazolo[3,4-d]pyrimidine, 4-[[3-(diethylamino)propyl]thio]-1,6-diisopropyl-
RL: PREP (Preparation)
(preparation of)

RN 5494-41-7 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine-4-thiol, 1,6-diisopropyl- (7CI, 8CI) (CA INDEX NAME)

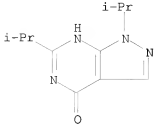


RN 5494-45-1 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine, 1,6-diisopropyl-4-(methylthio)- (7CI, 8CI) (CA INDEX NAME)

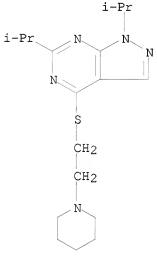


RN 5494-82-6 CAPLUS

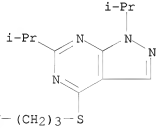
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 1,6-diisopropyl- (7CI, 8CI) (CA INDEX NAME)



RN 5546-24-7 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine, 1,6-diisopropyl-4-[(2-piperidinoethyl)thio]- (7CI, 8CI) (CA INDEX NAME)



RN 6109-79-1 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine, 4-[[3-(diethylamino)propyl]thio]-1,6-diisopropyl- (7CI, 8CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.
AB cf. preceding abstract The preparation of I from the corresponding 4-SH compds.

is described. Thus, to a solution of 18.2 g. 1-isopropyl-4-hydroxy-6-methylpyrazolo[3,4-d]pyrimidine, m. 195-6°, in 200 ml. pyridine is added 30 g. P2S5, the mixture refluxed 8 hrs., poured onto 3 l. ice-H2O, and kept overnight to precipitate I (R = H, R1 = Me). Similarly are prepared the SH compds. described in the preceding abstract To a solution of 20.8 g. I (R = H, R1 = Me) in 130 ml. 2N NaOH is added 24 ml. Me2SO4 and the mixture stirred at room temperature 1 hr. and kept overnight to precipitate I (R = R1 = Me), m. 66-7° (petroleum ether). Similarly are obtained the SR compds. described in the preceding abstract I possess coronary dilating properties.

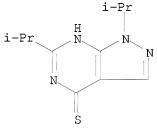
L7 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1966:84619 CAPLUS
DOCUMENT NUMBER: 64:84619
ORIGINAL REFERENCE NO.: 64:15897d-g
TITLE: 4-Mercaptopyrazolo[3,4-d]pyrimidines
INVENTOR(S): Schmidt, Paul; Eichenberger, Kurt; Wilhelm, Max
PATENT ASSIGNEE(S): CIBA Ltd.
SOURCE: 4 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 396923		19660131	CH 1960-1273164	19600511

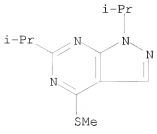
PRIORITY APPLN. INFO.: CH 19600511

IT 5494-41-7P, 1H-Pyrazolo[3,4-d]pyrimidine-4-thiol, 1,6-diisopropyl- 5494-45-1P, 1H-Pyrazolo[3,4-d]pyrimidine, 1,6-diisopropyl-4-(methylthio)- 5494-46-2P, 1H-Pyrazolo[3,4-d]pyrimidine, 4-[[2-(diethylamino)ethyl]thio]-1,6-diisopropyl- 5494-47-3P, 1H-Pyrazolo[3,4-d]pyrimidine, 4-[[2-(dimethylamino)ethyl]thio]-1,6-diisopropyl-, hydrochloride 5494-81-5P, 1H-Pyrazolo[3,4-d]pyrimidine, 4-[[2-(dimethylamino)ethyl]thio]-1,6-diisopropyl- 5546-20-3P, 1H-Pyrazolo[3,4-d]pyrimidine, 1,6-diisopropyl-4-[(2-piperidinoethyl)thio]-, hydrochloride 5546-24-7P, 1H-Pyrazolo[3,4-d]pyrimidine, 1,6-diisopropyl-4-[(2-piperidinoethyl)thio]- 6109-79-1P, 1H-Pyrazolo[3,4-d]pyrimidine, 4-[[3-(diethylamino)propyl]thio]-1,6-diisopropyl-
RL: PREP (Preparation)
(preparation of)

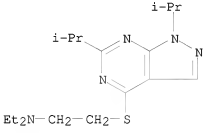
RN 5494-41-7 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine-4-thiol, 1,6-diisopropyl- (7CI, 8CI) (CA INDEX NAME)



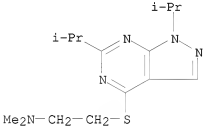
RN 5494-45-1 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine, 1,6-diisopropyl-4-(methylthio)- (7CI, 8CI) (CA INDEX NAME)



RN 5494-46-2 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine, 4-[[2-(diethylamino)ethyl]thio]-1,6-diisopropyl- (7CI, 8CI) (CA INDEX NAME)

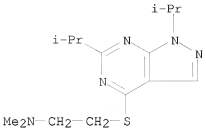


RN 5494-47-3 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine, 4-[[2-(dimethylamino)ethyl]thio]-1,6-diisopropyl-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

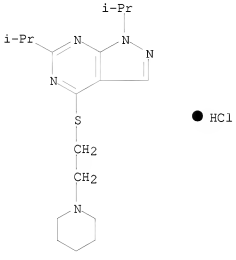


● HCl

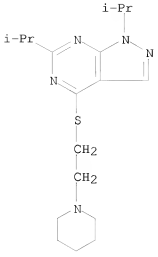
RN 5494-81-5 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine, 4-[[2-(dimethylamino)ethyl]thio]-1,6-diisopropyl- (7CI, 8CI) (CA INDEX NAME)



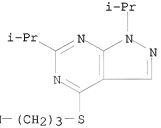
RN 5546-20-3 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine, 1,6-diisopropyl-4-[(2-piperidinoethyl)thio]-, hydrochloride (7CI, 8CI) (CA INDEX NAME)



RN 5546-24-7 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine, 1,6-diisopropyl-4-[(2-piperidinoethyl)thio]- (7CI, 8CI) (CA INDEX NAME)



RN 6109-79-1 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine, 4-[[3-(diethylamino)propyl]thio]-1,6-diisopropyl- (7CI, 8CI) (CA INDEX NAME)



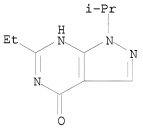
GI For diagram(s), see printed CA Issue.
AB cf. following abstract The title compds. (I) are prepared by treating the corresponding 4-halo compds. with thiourea, metal salts of H2S, lower-alkyl, amino- or ammonium-lower alkyl mercaptans. Thus, a stirred mixture of 50 ml. PhCH2CN, 2.3 g. Na (small pieces), and 9.9 g. 2-isopropyl-3-amino-4-carbethoxypyrazole is heated at 110-20° 4 hrs., cooled, 100 ml. alc. added, the mixture taken to dryness in vacuo, the residue taken up in 150 ml. 2N NaOH, and the alkaline solution washed with CHCl3 and acidified to pH 5-6 with 6N HCl to precipitate 1-isopropyl-4-hydroxy-6-benzylpyrazolo[3,4-d]pyrimidine (II), m. 165-6° (alc.). A mixture of 10 g. II and 100 ml. POCl3 is heated at 110° 5 hrs. and evaporated to dryness in vacuo, the residue taken up in H2O and extracted with CHCl3, the extract washed with N NaOH, dried over Na2SO4, and the CHCl3 evaporated in vacuo to yield the 4-Cl (III) analog of II. A solution of III and 8.5 g. thiourea in 150 ml. alc. is refluxed 12 hrs., concentrated to 60 ml., and cooled to afford I (R = H, R1 = PhCH2, m. 145-7°. Similarly are prepared the following I (R, R1, b.p. (mm.), and m.p. given): H, Me, --, 226-8°; H, CHMe2, --, 170-1°; Me, Me, --, 66-7°; (CH2)2NEt2, PhCH2, --, --(hydrochloride m. 160°); Me, PhCH2, --, 84-5°; Me, CHMe2, 106-9° (0.05), --; (CH2)2NEt2, CHMe2, 138-40° (0.05),

--; (CH2)3NEt2, CHMe2, 149-51° (0.02), --; 2-(piperidine)ethyl, CHMe2, --, -- (hydrochloride m. 163-5°); (CH2)2NMe2, CHMe2, --, -- (hydrochloride m. 178-80°).

L7 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1966:84617 CAPLUS
DOCUMENT NUMBER: 64:84617
ORIGINAL REFERENCE NO.: 64:15896h,15897a-b
TITLE: Novel pyrazolopyrimidines
INVENTOR(S): Schmidt, Paul; Eichenberger, Kurt; Wilhelm, Max
PATENT ASSIGNEE(S): CIBA Ltd.
SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

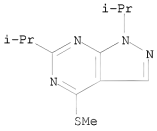
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 396927		19660113	CH 1960-509965	19600511
PRIORITY APPLN. INFO.:			CH	19600511

IT 5543-55-5P, 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 6-ethyl-1-isopropyl-
RL: PREP (Preparation)
(preparation of)
RN 5543-55-5 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 6-ethyl-1-isopropyl- (7CI, 8CI) (CA INDEX NAME)



AB The title compds. are prepared by cyclization of substituted pyrroles. Thus, a mixture of 30 g. 2-isopropyl-3-amino-4-cyanopyrazole (I) and 68 ml. Ac2O is refluxed 10 hrs., the mixture evaporated to dryness, the residue recrystd. from Et2O and subsequently from H2O, and the mother liquor concentrated to give a viscous mass (II) containing the acetylamino derivative of I. A mixture of II (3.84 g.), 14 ml. 10% aqueous KOH, and 30 ml. 3% H2O2 is heated on a steam bath 0.5 hr., filtered, and the filtrate acidified with 2N HCl to give 1-isopropyl-4-hydroxy-6-methylpyrazolo[3,4-d]pyrimidine (III), m. 195-6° (alc.). Similarly prepared is the 6-Et derivative of III, m. 180-2° (EtOH). The compds. possess coronary dilating properties.

L7 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1966:79294 CAPLUS
DOCUMENT NUMBER: 64:79294
ORIGINAL REFERENCE NO.: 64:14897f-g
TITLE: Relation between synergism and metabolism of dimethoate in mammals and insects
AUTHOR(S): Uchida, T.; Zschintzsch, J.; O'Brien, R. D.
CORPORATE SOURCE: Cornell Univ., Ithaca, NY, USA
SOURCE: Toxicology and Applied Pharmacology (1966), 8(2), 259-265
CODEN: TXAPA9; ISSN: 0041-008X
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 5494-45-1P, 1H-Pyrazolo[3,4-d]pyrimidine, 1,6-diisopropyl-4-(methylthio)-
RL: PREP (Preparation)
(preparation of)
RN 5494-45-1 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine, 1,6-diisopropyl-4-(methylthio)- (7CI, 8CI) (CA INDEX NAME)

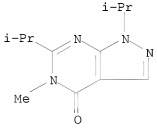


AB Toxicol. Appl. Pharmacol. 8(2), 259-65(1966)(Eng). EPN (Et p-nitrophenyl thiono-benzenephosphate) synergizes the toxicity of dimethoate profoundly in female mice, mildly in female guinea pigs, and not at all in female houseflies (Wilson and G strains) or milkweed bugs. These findings parallel the observations that EPN blocks di-methoate metabolism profoundly (80%) in mice, less in guinea pigs (60%), and not at all in houseflies and milkweed bugs. Synergism in this pair of compds. is caused by blockade of metabolism. However, the ability of EPN to distinguish between the amidase and phosphatase pathways is not so clear-cut as in the corresponding carboxyesterase and phosphatase pathways for malathion, whose toxicity is also synergized by EPN.

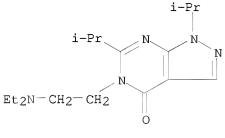
L7 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1965:22609 CAPLUS
DOCUMENT NUMBER: 62:22609
ORIGINAL REFERENCE NO.: 62:4037c-e
TITLE: Pyrazolo[3,4-d]pyrimidines
PATENT ASSIGNEE(S): CIBA Ltd.
SOURCE: 7 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 973361		19641028	GB 1961-17103	19610510
PRIORITY APPLN. INFO.:			CH	19600511

IT 1143-77-7P, 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,6-diisopropyl-5-methyl- 1237-01-0P, 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 5-[2-(diethylamino)ethyl]-1,5-dihydro-1,6-diisopropyl-
RL: PREP (Preparation)
(preparation of)
RN 1143-77-7 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,6-diisopropyl-5-methyl- (7CI, 8CI) (CA INDEX NAME)



RN 1237-01-0 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 5-[2-(diethylamino)ethyl]-1,5-dihydro-1,6-diisopropyl- (7CI, 8CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.
AB The title compds. (I) were prepared by alkylating a 1,6-disubstituted 4-hydroxypyrazolo[3,4-d]pyrimidine with a dialkylaminoalkyl chloride or Me2SO4. Thus, a solution of 1.15 g. Na in 40 ml. EtOH was added to 14.1 g. 1-sec-butyl-4-hydroxy-6-benzylpyrazolo[3,4-d]pyrimidine followed by 7.5 g.

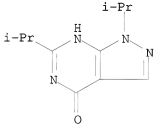
Et2NCH2CH2Cl and the mixture refluxed 4 hrs. to give the hydrochloride of I (R1 = sec-Bu, R2 = Et2NCH2CH2, R3 = PhCH2), m. 147-8°. The following I were prepared similarly (R1, R2, R3, m.p. free base, and m.p. hydrochloride given): iso-Pr, Me, PhCH2, 96-7°, --; iso-Pr, Me2NCH2CH2, PhCH2, 115-17°, 229-31°; iso-Pr, Et2NCH2CH2, PhCH2, --, 202-3°; iso-Pr, Et2N(CH2)3, PhCH2, 70-1°, 173-5°; Me, Et2NCH2CH2, PhCH2, 83-5°, 219°; Ph, Et2NCH2CH2, PhCH2, 103-5°, 225°; iso-Pr, Et2NCH2CH2, Me, --, --; iso-Pr, Me, iso-Pr, 75-7°, --; iso-Pr, Et2NCH2CH2, iso-Pr, --(b0.05 138-40°), --; iso-Pr, Et2NCH2CH2, Ph2CH, 124-5°, --. The title compds. had coronary dilating properties.

L7 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1964:68272 CAPLUS
DOCUMENT NUMBER: 60:68272
ORIGINAL REFERENCE NO.: 60:12027a-c
TITLE: 1-Isopropyl-4-hydroxypyrazolo[3,4-d]pyrimidines
INVENTOR(S): Schmidt, Paul; Eichenberger, Kurt; Wilhelm, Max
PATENT ASSIGNEE(S): CIBA Ltd.
SOURCE: 4 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

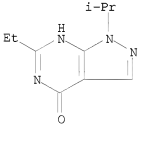
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1156415		19631031	DE	
CH 396926			CH	
PRIORITY APPLN. INFO.:			CH	19600511

IT 5494-82-6P, 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 1,6-diisopropyl-
5543-55-5P, 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 6-ethyl-1-isopropyl-
88618-14-8P, 1H-Pyrazolo[3,4-d]pyrimidin-4-ol,
6-isobutyl-1-isopropyl-
RL: PREP (Preparation)
(preparation of)

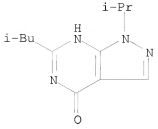
RN 5494-82-6 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 1,6-diisopropyl- (7CI, 8CI) (CA INDEX NAME)



RN 5543-55-5 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 6-ethyl-1-isopropyl- (7CI, 8CI) (CA INDEX NAME)



RN 88618-14-8 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 6-isobutyl-1-isopropyl- (7CI) (CA INDEX NAME)



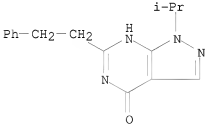
GI For diagram(s), see printed CA Issue.

AB 2-Isopropyl-3-amino-4-carbethoxypyrazole (39.6 g.) in 160 cc. isobutyronitrile and 9.2 g. Na was heated slowly over 1 hr. to 110°, and the mixture kept 4 hrs. at 110° to give 16 g. I (R = iso-Pr), m. 175-7°. Similarly prepared were the following I (R and m.p. given): Me, 195-6°; Me2CHCH2, 114-16°; Et, 180-2°. I are coronary dilators.

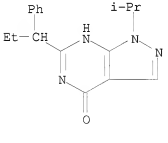
L7 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1964:16883 CAPLUS
DOCUMENT NUMBER: 60:16883
ORIGINAL REFERENCE NO.: 60:2981a-e
TITLE: 4-Hydroxypyrazolo[3,4-d]pyrimidines
INVENTOR(S): Schmidt, Paul; Eichenberger, Kurt; Wilhelm, Max
PATENT ASSIGNEE(S): CIBA Ltd.
SOURCE: 10 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1153023		19630822	DE	
CH 396925			CH	
GB 937724			GB	
PRIORITY APPLN. INFO.:			CH	19600511

IT 93022-45-8P, 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 1-isopropyl-6-phenethyl- 93726-17-1P, 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 6-(α-ethylbenzyl)-1-isopropyl-
RL: PREP (Preparation)
(preparation of)
RN 93022-45-8 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 1-isopropyl-6-phenethyl- (7CI) (CA INDEX NAME)



RN 93726-17-1 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 6-(α-ethylbenzyl)-1-isopropyl- (7CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.
AB 1-R1, 3-R2, 6-R3-substituted 4-hydroxy pyrazolo[3,4-d]pyrimidines (R1 = H, alkyl, hydroxyalkyl, or oxaalkyl; R2 = H or low-mol.-weight alkyl; R3 =

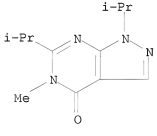
eventually substituted phenylalkyl or diphenylalkyl radicals) are prepared
Thus, 19.7 g. 2-isopropyl-3-amino-4-carbethoxypyrazole and 45 g.
β-phenylpropionitrile in 30 cc. absolute PhMe are added to 4.6 g. powdered
Na in 85 cc. absolute PhMe at 90-5° with stirring, the mixture stirred 5
hrs. at 90-5°, 50 cc. alc. added, the solution evaporated to dryness, the
residue extracted with N NaOH and PhMe, and the alkaline solution neutralized
with 6N
HCl to precipitate 8.7 g. 1-isopropyl-4-hydroxy-(6-R-substituted)-pyrazolo[3,4-
d]pyrimidine(I) (R = β-phenylethyl), m. 124-5° (alc.).
Similarly prepared are the following I (R and m.p. given): m-hydroxybenzyl,
226-7° (alc.); p-chlorobenzyl (II), 181-2° (alc.);
3,4,5-trimethoxy-phenylmethyl, 195-6° (alc.); p-ethoxybenzyl,
175-6° (alc.); m-methoxybenzyl, 155-8° (alc.);
o-methoxybenzyl, 157-9° (EtOH); 2-methyl-3-methoxybenzyl,
150-1° (EtOH); diphenylmethyl, 226-7° (EtOH);
α-phenylpropyl, 142-3°(alc.). Also prepared are the following
1-methyl-4-hydroxy-(6-R-substituted)-pyrazolo[3,4-d]pyrimidines (R and
m.p. given): benzyl, 236-7° (EtOH); 3,4,5-trimethoxyphenylmethyl,
245° (CHCl3-petr. ether); p-chlorobenzyl, 268-70°
(HCONMe2-H2O); 2,3-dimethoxyphenylmethyl, 190-1° (alc.). The
following (1-R-substituted)-4-hydroxy-6-benzylpyrazolo[3,4-d]pyrimidines
are prepared (R and m.p. given): sec-butyl, 154-5°(alc.); pent-3-yl,
144-5° (absolute alc.); β-hydroxyethyl, 194-5°(alc.);
1-ethoxybut-3-yl, 111-12° (MeOH-H2O); H, 290-2° (EtOH);
3-methylbut-2-yl, 157-8° (EtOH). Also prepared are these starting
materials: 2-(β-hydroxyethyl)-3-amino-4-carbethoxypyrazole, b0.6
180°, m. 89-91°; 2-[1-ethoxybut-3-yl]-3-amino-4-
carbethoxypyrazole, b0.1 120-5°; 2-isopropyl-3-[α-ethoxy-
β-(p-chlorophenyl)ethylidenamino]pyrazole-4-carboxamide;
2-isopropyl-3-(p-chlorophenylacetamido)-4-carboxypyrazole;
1-isopropyl-4-oxo-6-(p-chlorobenzyl)pyrazolo[3,4-d]oxazine;
2-isopropyl-3-(p-chlorophenylacetamido)-4-pyrazolecarbonitrile.

L7 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1963:469189 CAPLUS
DOCUMENT NUMBER: 59:69189
ORIGINAL REFERENCE NO.: 59:12820a-h,12821a
TITLE: Pyrazolo[3,4-d]pyrimidines
INVENTOR(S): Schmidt, Paul; Eichenberger, Kurt; Wilhelm, Max
PATENT ASSIGNEE(S): CIBA Ltd.
SOURCE: 7 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

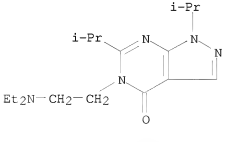
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1149013		19630522	DE	
PRIORITY APPLN. INFO.:			CH	19600511

IT 1143-77-7P, 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,6-diisopropyl-5-methyl- 1237-01-0P, 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 5-[2-(diethylamino)ethyl]-1,5-dihydro-1,6-diisopropyl- 5494-82-6P, 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 1,6-diisopropyl-
RL: PREP (Preparation)
(preparation of)

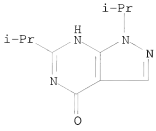
RN 1143-77-7 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,6-diisopropyl-5-methyl- (7CI, 8CI) (CA INDEX NAME)



RN 1237-01-0 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 5-[2-(diethylamino)ethyl]-1,5-dihydro-1,6-diisopropyl- (7CI, 8CI) (CA INDEX NAME)



RN 5494-82-6 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 1,6-diisopropyl- (7CI, 8CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.

AB 4-Oxo-4,5-dihydropyrazolo[3,4-d]pyrimidines (I), possessing vasodilating ability, are described in which R1 = H, alkyl or phenyl group, R2 = H or lower alkyl group, R3 = HO, halogen, NR5R6 (R5 and R6 = H, alkyl groups or joined together through O, S, or N) (or the position may be unsubstituted), R4 = alkyl or aralkyl group. The most active compds., I (R1 = iso-Pr, R2 = H, R3 = Et2NCH2CH2, R4 = PhCH2) (II) and I (R1 = sec-Bu, R2 = H, R3 = Et2NCH2CH2, R4 = PhCH2) (III) at a concentration of 10 γ/ml. increase coronary blood flow 78-73% in the Langendorf isolated dog heart procedure. In the same test, 1-isopropyl-4-diethylaminopyrazolo-[3,4-d]pyrimidine (CA 55, 13457a) at the same concentration causes an increase of 60%. In the compds. described below R2 = H. Na (2.3 g.) is finely dispersed in 50 ml. PhCH2CN and 9.9 g. 2-isopropyl-3-amino-4-carbethoxypyrazole (IV) added. The mixture is heated to 110-20° with stirring for 4 hrs. and cooled, 100 ml. alc. is added, and the mixture evaporated to dryness in vacuo. The residue is taken into 150 ml. 2N NaOH, extracted with CHCl3 to remove undissolved material and adjusted to pH 5 to 6 with 6N HCl to yield 1-isopropyl-4-hydroxy-6-benzylpyrazolo[3,4-d]pyrimidine (V), m. 165-6° (alc.). V in 30 ml. N NaOH treated with Me2SO4 gave I (R1 = iso-Pr, R3 = Me, R4 = PhCH2) (VI), m. 96-7°. The procedure similar to that used for the preparation of IV is used to prepare 1-sec-butyl-4-hydroxy-6-benzylpyrazolo[3,4-d]pyrimidine (VII), m. 154-5°. A solution of 1.15 g. Na in 40 ml. absolute alc. is added to 14.4 g. VII in 60 ml. absolute alc. and refluxed 4 hrs. after the addition of 7.5 g. Et2NCH2CH2Cl to give after HCl treatment 15.4 g. III.HCl, m. 147-8°. Similarly, 13.4 g. V is allowed to react with 1.2 g. Na in 300 ml. absolute EtOH, then with 5.5 g. Me2NCH2CH2Cl to yield 10.2 g. I (R1 = iso-Pr, R3 = Me2NCH2CH2, R4 = PhCH2) (VIII), m. 115-17°; VIII.HCl m. 229-31°. V, as the Na salt, is allowed to react with Et2NCH2CH2Cl to yield I (R1 = iso-Pr, R3 = Et2NCH2CH2, R4 = PhCH2).HCl, m. 202-3°. When V, as the Na salt, is allowed to react with Et2NCH2CH2CHCl, II.HCl, m. 173-5°, is isolated. 1-Methyl-4-hydroxy-6-benzylpyrazolo[3,4-d]pyrimidine (IX) is prepared from 2-methyl-3-amino-4-carbethoxypyrazole and PhCH2CN (X) by the procedure for the preparation of V. The reaction of 12 g. IX with 1.2 g. Na in 25 ml. absolute alc. followed by the addition of 6 g. Et2NCH2CH2Cl leads to the isolation of I (R1 = Me, R3 = Et2NCH2CH2, R4 = PhCH2) (XI), m. 83-5° XI.HCl m. 219°. Likewise, 2-phenyl-3-amino-4-carbethoxypyrazole and X yields 1-phenyl-6-benzyl-4-hydroxypyrazolo[3,4-d]pyrimidine, m. 264-5° which is allowed to react as the Na salt with Et2 NCH2CH2Cl to give I (R1 = Ph, R3 =Et2NCH2CH2,CH2, R4 = PhCH2) (XII), m. 103 5° XII.HCl m. 225°. To an ice-cooled solution of 9.9 g. IV in 50 ml. MeCN is added 2.3 g. Na and the temperature of reaction kept below 30°. After the addition, the mixture is heated to 90-95° for 4 hrs., cooled, and 100

ml. EtOH added. The mixture is evaporated to dryness and residue treated with 150 ml. 2N NaOH, extracted with CHCl3 and the aqueous layer adjusted to pH 3 to 4 with 5N HCl and the precipitate crystallized from alc. to give 1-isopropyl-4-hydroxy-6-methylpyrazolo[3,4-d]pyrimidine (XIII), m. 195-6°. The reaction of 9.1 g. XII with 1.2 g. Na in 150 ml. absolute alc., followed by the addition of 7 g. Et2NCH2CH2Cl, and 4 hrs. reflux yields 7 g. I (R1 = iso-Pr, R3 = Et2NCH2CH2, R4 = Me), m. 166-8°. 1,6-Diisopropyl-4-hydroxypyrazolo[3,4-d]pyrimidine (XIV), m. 175-7°, is prepared from iso-BuCN and IV in the presence of Na. A solution of 11 g. XIV in 75 ml. 2N NaOH solution is stirred at room temperature with 6.3 g. Me2SO4 and allowed to stand overnight to yield 9 g. I (R1 = R4 = iso-Pr, R3 = Me), m. 175-7°. XIV (10 g.) is added to a solution of 1.05 g. Na in 150 ml. absolute alc., stirred 1 hr. at room temperature and 6.5 g. Et2. NCH2CH2Cl is added.

The mixture is refluxed 4 hrs., evaporated to dryness in vacuo and the residue dissolved in 100 ml. N HCl, adjusted to a pH with NaOH solution and the oil that results is extracted with Et2O. The residue, after removal of the Et2O, is distilled to yield 9 g. I (R1 = R4 = iso-Pr, R3 = Et2NCH2CH2), b0.05 138-40°. A mixture of 20 g. X and 19.7 g. IV is warmed to 70° and 2.3 g. of Na in small pieces added. The mixture is heated 4 hrs. at 110-20°, allowed to cool, and the excess Na destroyed by the addition of alc. The mixture is evaporated to dryness in vacuo, the residue treated with 300 ml. H2O and 2N HCl added to adjust the pH to 3. The precipitate is removed by filtration and crystallized from petr. ether to yield 1-isopropyl-4-hydroxy-6-diphenylmethylpyrazolo[3,4-d]pyrimidine (XV), m. 226 7°. XV(5.2 g.)is added to a solution of 0.35g. Na in 150 ml. EtOH, the mixture stirred at room temperature and 2.1 g. Et2NCH2CH2Cl is added. The mixture is refluxed 4 hrs. and evaporated to dryness in vacuo and the residue crystallized from petr. ether to yield 3.8 g. I (R1 = iso-Pr, R3;= Et2NCH2CH2, R4 = Ph2CH), m. 124-5°.

L7 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1958:88115 CAPLUS
DOCUMENT NUMBER: 52:88115
ORIGINAL REFERENCE NO.: 52:15540i,15541a-i,15542a-i,15543a-i
TITLE: Potential purine antagonists. VII. Synthesis of 6-alkylpyrazolo[3,4-d]pyrimidines
AUTHOR(S): Cheng, C. C.; Robins, Roland K.
CORPORATE SOURCE: New Mexico Highlands Univ., Las Vegas
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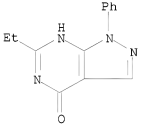
RL: PREP (Preparation)
(preparation of)
RN 5394-42-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-ethyl-1,5-dihydro-1-phenyl- (CA INDEX NAME)



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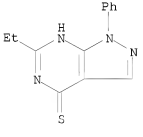

GI For diagram(s), see printed CA Issue.
AB cf. C.A. 52, 13741h. A synthesis of 6-alkyl-4-hydroxypyrazolo[3,4-d]pyrimidines, R1N.N:CH.C:C.N:CR2.N:COH (I) was devised from the corresponding 5-acylamino-4-cyanopyrazoles, R3CONHC:C(CN).CR2:N.NR1 (II) which were in turn prepared from 5-amino-4-cyanopyrazoles, R1N.N:CH.C(CN):CNH2 (III). Evidence was presented to show that the 5-acylaminopyrazole-4-carboxamide is an intermediate in this cyclization. Chlorination of I yielded the corresponding 6-alkyl-4-chloropyrazolo[3,4-d]pyrimidines, R1N.N:CH.C:C.N:CR2.N:CCl (IV). Nucleophilic displacement of the Cl in IV resulted in the preparation of a large number of

6-alkylpyrazolo[3,4-d]pyrimidines, R1N.N:CH.C:C.N:CR2.N:CNR4R5 (V). III (R1 = 3-Me) (80 g.) and 250 ml. Ac2O refluxed 10 hrs., excess Ac2O distilled in vacuo, the sirupy substance poured into 30 ml. C6H6, stirred several min., and crystallized gave 89 g. II (R1 = R2 = H, R3 = Me), crystals from H2O. Similarly II (R1 = R3 = Me, R2 = H) was prepared and the product recrystd. from H2O to a white powder. III (R1 = Ph) (150 g.) treated 19 hrs. under reflux with 200 ml. Ac2O, excess solvent removed, the residue treated with a small amount of C6H6, and Skellysolve (b. 60°), and the product isolated gave 171 g. II (R1 = Ph, R2 = H, R3 = Me) crystallized from H2O. The following II were thus prepared (R1, R2, R3, m.p., % yield, and recrystn. solvent given): H, H, Me, 221-2°, 76, H2O; Me, H, Me, 210-11°, 72, H2O; Ph, H, Me, 155-6°, 92, H2O; o-ClC6H4, H, Me, 175-5.5°, 82, alc., H2O; p-ClC6H4, H, Me, 173-5°, 96, alc, H2O; p-BrC6H4, H, Me, 175-5° (sic), 98, alc., H2O; p-O2NC6H4, H, Me, 198-200°, 95, alc., H2O; p-MeC6H4, H, Me, 128°, 96, alc., H2O; AcOCH2CH2, H, Me, 155-7°, 81, alc.

II (R1 = Ph, R2 = H, R3 = Me) (30 g.) added at 15-20° to 120 ml. concentrated H2SO4, the clear solution stirred 0.5 hr., then poured onto 1 kg. ice, neutralized with concentrated NH4OH, the solid collected, washed, dried, and recrystd. from C6H6 and MeOH gave 20 g. 5-amino-1-phenylpyrazole-4-carboxamide (VI), m. 172-5°, identical with the product obtained from the hydrolysis of 5-amino-4-cyano-1-phenylpyrazole. VI (20 g.) and 200 ml. Ac2O refluxed 15 hrs., and purification gave 15 g. 6-methyl-4-oxo-1-phenylpyrazolo [3,4-d]-5,7-oxazine (VII), m. 184.5-5.5° (sublimed at 145°) (C6H6-C7H16). VII (2.5 g.) kept 2 hrs. at room temperature with 200 ml. H2O and 2 g. KOH, heated 10 hrs., acidified, and the precipitate collected gave 2 g. 5-acetamido-1-phenylpyrazole-4-carboxylic acid (VIII), m. 201-2° (AcOH), readily lost CO2 on heating. The 5-acetylamido group was retained in warm alkaline solution but hydrolyzed readily in cold acidic medium. VII (2 g.) left 0.5 hr. at room temperature with 100 ml. alc. NH3, heated briefly until a solid product precipitated, and the product collected gave 5-acetamido-1-phenylpyrazole-4-carboxamide (IX), m. 301-2°, relatively unstable. The m.p. of IX was the same as that for I (R1 = Ph, R2 = Me) and was undepressed in mixed m.p. The ultraviolet absorptions for IX at 230 mμ and for I at 233 and 269 mμ, were different. Thus IX cyclized at elevated temps. during the m.p. determination I were prepared by the following method. II (R1 = R2 = H, R3 = Me) (1.5 g.); 7 ml. 10% KOH, and 15 ml. 3% H2O2 warmed 0.5 hr. at 70-5°, the mixture acidified, the solid collected, and repptd. with dilute KOH and AcOH gave 1.1 g. I (R1 = H, R2 = Me). II (R1 = R3 = Me, R2 = H) (121 g.) warmed 10 hrs. at 70° with 1500 ml. 3% H2O2 and 400 ml. 10% KOH gave 103 g. I (R1 = R2 = Me), needles, sublimed at 180°. II (R1 = Ph, R2 = H, R3 = Me) (14.5 g.) in 5 g. KOH and 200 ml. 3% H2O2 warmed 5 hrs. at 70-5° and acidified gave 14 g. crude I (R1 = Ph, R2 = Me), m. 298-300°. IX(1 g.) heated 20 min. at 70° with 100 ml. 10% KOH, then acidified, the solid collected and recrystd. gave 0.8 g. product identical with that from the preceding experiment I (R1 = R2 = Me) (25 g.) and 400 ml. POCl3 refluxed 2 hrs., excess solvent removed, the sirup poured onto 1 kg. ice, the suspension left 15 min., extracted with CHCl3, dried, solvent removed at room temperature, and the solid isolated gave 24 g. IV (R1 = R2 = Me) as needles. I (R1 = H, R2 = Me)(50 g.) refluxed 2 hrs. with 140 ml. PhNMe2 and 1 l. POCl3, excess POCl3 removed, the residue poured on ice, and extracted with Et2O gave 35 g. IV (R1 = H, R2 = Me), unstable. I (R1 = p-O2NC6H4, R2 = Me) (20 g.) refluxed 3 hrs. with 250 ml. POCl3 gave 17.5 g. IV (R1 = p-O2NC6H4, R2 = Me) as a yellow powder.

Preparation of 1-alkyl(aryl)-6-alkyl-4-mercaptopyrazolo[3,4-d]pyrimidines X) (R1 = 1-substituent, R2 = 6-substituent) was achieved by the following two methods: (method 1) I (R1 = Ph, R2 = Me) (11 g.) and 50 g. P2S6 added portionwise during 45 min. to 400 ml. Tetralin (preheated to 165°), the temperature allowed to rise to 185°, then heated 6 hrs. to 190-5°, the solution cooled overnight, filtered, the product dissolved in dilute KOH and precipitated with AcOH gave 5.5 g. X (R1 = Ph, R2 = Me); method 2) IV (R1 = Ph, R2 = Me) (14 g.) and 14 g. CS(CH2)2 in 120 ml. alc. refluxed 4 hrs., the product collected and washed well with alc. and H2O, and the product purified by precipitation from a hot basic solution with AcOH gave 11.5 g. X (R1 = Ph, R2 = Me). All the other X were prepared by essentially the same procedure as method 2. 1-Alkyl(aryl)-6-alkyl-4-alkylthiopyrazolo[3,4-d]pyrimidines (XI) (R1 = 1-substituent, R2 = 6-substituent, R3 = S-substituent were prepared as follows: X (R1 = R2 = Me) (13 g.), 40 ml. 4N KOH, 18 g. MeI, and 30 ml. MeOH shaken 0.5 hr. in a separatory funnel, the contents left overnight at 40°, and the solid collected gave 12.5 g. XI (R1 = R2 = R3 = Me). X (R1 = Ph, R2 = Me) (1 g.) added to 200 ml. H2O containing 15 g. KOH and 21 g. EtI, treated with 100 ml. alc., refluxed 5 hrs., and reduced in volume, until an oily product solidified gave 3 g. XI (R1 = Ph, R2 = Me, R3 = Et). 4-Alkoxy-1-alkyl(aryl)-6-methylpyrazolo[3,4-d]pyrimidines (XII) (R1 = 1-substituent, R2 = O-substituent) were prepared as follows: IV (R1 = p-MeC6H4, R2 = Me) (5.5 g.) and 100 ml. alc. left 2 hrs. at room temperature with 2 g. Na in 70 ml. alc., heated 40 min. on the steam bath, and NaCl removed, the filtrate treated with 50 ml. H2O, and left overnight in the cold gave 3.1 g. XII (R1 = p-MeC6H4, R2 = Et). Other XII were prepared as above. The following N:CR2.N:CR3.C:C.NR1.N:CH were prepared by the above methods (R1, R2, R3, m.p., % yield, and recrystn. solvent given): H, Me, OH, 336-8°, 73.5, AcOH; H, Me, Cl, 140° (decomposition), 70.0, C6H6; H, Me, SH, above 300°, 80, repptd.; H, Et, OH, above 300°, 82, alc., H2O; Me, Me, OH, 277-8°, 72.5, alc., H2O; Me, Me, Cl, 74°, 70.2, C7H16; Me, Me, OMe, 107.5-8.5°, 67.5, MeOH; Me, Me, SH, 264-5°, 98, repptd.; Me, Me, SMe, 74-5°, 90.2, MeOH, H2O; CH2CH2OH, Me, OH, 265-6°, 54.8, H2O; Ph, Me, Cl, 85-6°, 83.5, C7H16; Ph, Me, SH, 268.5°, 83.3, repptd.; Ph, Me, OMe, 121.5-2.0°, -, MeOH; Ph, Me, OEt, 95-5.5°, -, alc.; Ph, Me, SMe, 135-7°, -, MeOH, H2O; Ph, Me, SEt, 86-8°, -, alc., H2O; Ph, Et, OH, 295°, 88.5, alc., H2O; Ph, Et, SH, 248-9°, 91.6, repptd.; p-MeC6H4, Me, OH, 298-300°, 93.6, alc., H2O; p-MeC6H4, Me, Cl, 89-91°, 78.1, C7H16; p-MeC6H4, Me, OMe, 121-2°, 81.2, MeOH; p-MeC6H4, Me, OEt, 93-4°, 53, alc.; o-ClC6H4, Me, Cl, 121°, 77.8, C6H14; p-BrC6H4, Me, OH, above 315°, 86.6, alc., H2O; p-BrC6H4, Me, Cl, 130.5-31°, 88.7, C6H14; p-ClC6H4, Me, OH, above 310°, 94.5, alc., H2O; p-ClC6H4, Me, Cl, 129°, 82.6, C7H16; p-ClC6H4, Me, SH, above 305°, 75.2, repptd.; p-O2NC6H4, Me, OH, above 310°, 90, repptd.; p-O2NC6H4, Me, Cl, 184°, 82, PhMe. V were prepared by the following methods: (method A) IV (R1 = H, R2 = Me) (10 g.) and 120 ml. alc. NH3 heated 8 hrs. in a bomb at 160°, the product evaporated to dryness, the residue refluxed with dilute HCl, the solution treated with C, filtered, and the product repptd. with NH4OH, filtered, and recrystd. gave 6.5 g. V (R1 = R4 = R5 = H, R2 = Me); (method B) the above IV (5 g.) added to 7 g. BuNH2, and 120 ml. alc. and the mixture refluxed 7 hrs. gave 3 g. V (R1 = R4 = H, R2 = Me, R5 = Bu). IV (R1 = Ph, R2 = Me) (5 g.) refluxed 40 min. with 8 g. p-ClC6H4NH2 and 75 ml. alc. and the mixture filtered after cooling 3 hrs. in an ice bath gave 6.2 g. crude V (R1 = Ph, R2 = Me, R4 = H, R5 = p-ClC6H4). IV (R1 =

p-ClC6H4, R2 = Me) (9 g.) refluxed on a steam bath to near dryness with 160 ml. alc. containing 10 g. PhCH2CH2NH2 and the residue added to MeOH gave 11 g. V (R1 = p-ClC6H4, R2 = Me, R4 = H, R5 = CH2CH2Ph); (method C) IV (R1 = R2 = Me) (5.5. g.), 5.5 g. furfurylamine, and 200 ml. alc. heated 8 hrs. on a steam bath, then evaporated, the residue stirred with 30 ml. 10% KOH, the alkaline solution decanted, the sirup refluxed 2 hrs. with 100 ml. C6H6, and the solution, filtered and evaporated to dryness gave 4 g. V (R1 = R2 = Me, R4 = H, R5 = furfuryl as white needles. IV (R1 = Ph, R2 = Et) (13 g.) in 150 ml. alc. treated slowly with 13 g. PhCH2NH2 in 50 ml. alc., the mixture refluxed 12 hrs., the solvent removed, and the product treated with C6H6 and several drops MeOH, and refrigerated gave 8 g. V (R1 = Ph, R2 = Et, R4 = H, R5 = CH2Ph). The following V were prepared by these methods (R1, R2, R4, R5, m.p., method of preparation, % yield, and recrystn. solvents given): H, Me, H, H, above 300°, A, 73, alc., H2O; H, Me, H, Me, above 300°, B ,60, alc., H2O; H, Me, H, Et, 273-4°, B, 56, alc.; H, Me, H, Pr, 220-2°, B, 49.1, alc.; H, Me, H, CH2Ph, 241°, B, 87.2, alc.; H, Me, H, furfuryl, 243-4°, C, 59, alc.; Me, Me, H, H, 251-2°, A, 90, alc., H2O; Me, Me, H, Me, 136-8°, B, 77.2, H2O; Me, Me, H, Et, 131.5-2.0°, C, 66.9, PhMe, C7H16; Me, Me, H, CH2Ph, 180-2°, B, 83, alc.; Me, Me, H, furfuryl, 140-1.5°, C, 54.6, alc.; Me, Me, H, o-ClC6H4, 223.5-4.0°, B, 60, alc.; Me, Me, H, p-ClC6H4, 231.5°, B, 67, alc., H2O; Me, Me, H, p-MeC6H4, 224-5.5°, B, 60, alc.; Me, Me, H, p-MeC6H4, 225-7°, B, 74.7, alc.; Me, Me, H, 2,6-Et2C6H3, 218-18.5°, B, 48.5, alc.; Me, Me, H, NH2, 259-60°, B, 87.3, alc.; Ph, Me, H, H, 287-9°, A 82.5, alc., H2O; Ph, Me, H, Me, 162-3°, B, 80.2, alc., H2O Ph, Me, Me, Me, 117-17.5°, C, 82.5, alc.; Ph, Me, H, Et, 86°, B, 87.2, alc.; Ph, Me, Et, Et, 66-8°, C, 83, alc.; Ph, Me, H, iso-Pr 143-4°, B 86, alc., H2O; Ph, Me, H, tert-Bu, 175-7°, C, 61, alc., H2O; Ph, Me, H, CH2CH2NEt2, 159-60°, C, 49.1, C7H16; Ph, Me, CH2Ph, H, 187-8°, B, 92, alc.; Ph, Me, H, furfuryl, 153-4.5°, C, 56.2, PhMe, C7H16; Ph, Me, H, Ph, 262-3°, B, 50.5, EtOCH2CH2OH; Ph, Me, H, m-BrC6H4, 215-17°, B, 68, alc.; Ph, Me, H, o-ClC6H4, 175-6°, B, 51.3, alc.; Ph, Me, H, m-ClC6H4, 192-3°, B, 90, alc.; Ph, Me, H, p-ClC6H4, 226-6.5°, B, 82, alc., H2O; Ph, Me, H, 2,6-Et2C6H3, 189-90°, B, 71.2, alc.; Ph, Me, H, NH2, 243-4°, B, 80.1, C5H5N; Ph, Me, H, NHPh, 240-1°, B, 47.5, C5H5N; Ph, Et, Me, Me, 90.5-1.0°, B, 55.5, alc.; Ph, Et, H, tert-Bu, 148-8.5°, C 73.3, alc. (sublimed); Ph, Et, H, CH2Ph, 129-9.5°, C, 48.5, C, 48.5, C6H6, alc.; Ph, Et, H, o-ClC6H4, 168-8.5°, B, 71.5, EtOCH2CH2OH; Ph, Et, H, m-ClC6H4, 187-9°, B, 74, alc.; Ph, Et, H, p-ClC6H4, 208.5-9.5°, B, 87.8, EtOCH2CH2OH; Ph, Et, H, o-MeC6H4, 175-6°, B, 75.5, alc.; Ph, Et, H, m-MeC6H4, 169.5°, B, 58, alc.; Ph, Et, H, p-MeC6H4, 199-200°, B, 78.6, alc.; Ph, Et, H, 2,5-C12C6H3, 181-3°, B, 42.1, alc.; Ph, Et, H, 2,6-Et2C6H3, 191-1.5°, B, 38, alc.; Ph, Et, H, NH2, 198-9°, B, 87.5, alc.; p-MeC6H4, Me, H, H, 296.5-8.0°, A, 75.7, alc.; p-MeC6H4, Me, H, Me, 181-2.5°, B, 86, MeOH, H2O; p-MeC6H4, Me, Me, Me, 149-51°, B, 82.2, alc.; p-MeC6H4, Me, H, Et, 144-6°, B, 80, alc., H2O; p-MeC6H4, Me, H, CH2CH2NEt2, 165°, C, 62.8, PhMe, C7H16; p-MeC6H4, Me, H, o-ClC6H4, 219-21°, B, 76.5, C5H5N; p-MeC6H4, Me, H, m-BrC6H4, 218-20°, B, 63.5, alc.; o-ClC6H4, Me, H, H, 294.5-9.5°, A, 71.8, alc.; o-ClC6H4, Me, Me, Me, 152-3°, C, 77.7, alc.; o-ClC6H4, Me H, o-ClC6H4, 196-8°, B, 63, alc.; p-BrC6H4, Me, Et, Et, 123-4°, B, 51.6, EtOCH2CH2OH, H2O; p-C1C6H4, Me, H, H, above 300°, A, 36, alc.; p-ClC6H4, Me, H, Me,

218-19°, B, 57.2, alc.; H2O; p-ClC6H4, Me, H, iso-PrO(CH2)3,
109-10°, B, 51.1, MeOH, H2O; p-ClC6H4, Me, (R4R5 =) (CH2)5,
127.5-8.5°, B, 61.3, alc., H2O; p-ClC6H4, Me, H, CH2Ph,
214°, B, 93.3, EtOCH2CH2OH; p-ClC6H4, Me, H, CH2CH2Ph,
175-6°, B, 60.1, alc.; p-ClC6H4, Me, H, o-ClC6H4,
221-2°, B, 62.0, C5H5N, p-ClC6H4, Me, H, m-ClC6H4, 222-3°,
B, 85.5, EtOCH2CH2OH; p-ClC6H4, Me, H, p-ClC6H4, 239-9.5°, B, 88,
C5H5N; p-ClC6H4, Me, H, m-BrC6H4, 230-2°, B, 74.2, C5H5N;
p-ClC6H4, Me, H, 2,5-Cl2C6H3, 200°, B, 71.5, EtOCH2CH2OH;
p-O2NC6H4, Me, H, Me, 248-9°, B, 69, alc.; p-O2NC6H4, Me, Me, Me,
196°, B, 51.2, alc., H2O; p-O2NC6H4, Me, H, iso-Pr, 190-2°,
B, 81.1, alc.; p-O2NC6H4, Me, H, Bu, 147°, B, 66.6, alc.;
p-O2NC6H4, Me, (R4R5 =) (CH2)5, 189-91°, B, 96, C5H5N; p-O2NC6H4,
Me, H, CH2CH2NEt2, 145°, B, 91.7, alc., H2O; p-O2NC6H4, Me, H,
o-ClC6H4, 227-8°, B, 43.2, alc.; p-O2NC6H4, Me, H,
p-ClC6H4, 278°, B, 87, AcOH. The ultraviolet spectra were given
for many of the compds. given above. The screening of these compds.
against tumors in mice thus far has not revealed any significant antitumor
agents in this series.

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